activity (no data). Thus, a mixt. of 6.14° g p-ClC6H4NHCH2C6H4OCRMeCO2H-p (I; R = Me) (II) Et ester and N NaOH in 95% EtOH was stirred 50 min at 70? to give 5.6 g II. Also prepd. were I (R = H, Et) and the

N-methyl and N-benzyl derivs. of II.

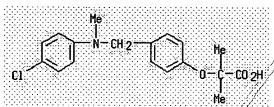
IT 58336-67-7P 58336-68-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

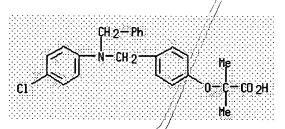
RN <u>58336-67-7</u> HCAPLUS

CN Propanoic acid, 2-[4-[[(4-chlorophenyl)methylamino]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



RN 58336-68-8 HCAPLUS

CN Propanoic acid, 2-[4-[[//4-chlorophenyl)(phenylmethyl)amino]methyl]phenoxy]-2-methyl-(9CI) (CA INDEX NAME)



L6 ANSWER 26 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

Full ding Text References

ACCESSION NUMBER: 1976:421078 HCAPLUS

DOCUMENT NUMBER:

85:21078

TITLE:

Azetidinone derivatives

INVENTOR(S):

Kamiya, Takashi; Yoshihisa, Takarazuka; Hashimoto, Masashi; Teraji, Tsutomu; Takaya, Takao; Komori, Tadaaki; Nakaguti, Osamu; Oku, Teruo; Shiokawa,

Youichi; et al.

PATENT ASSIGNEE(S):

Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE:

Ger. Offen., 318 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 2529941	A1	19760408	DE 1975-2529941	19750704
<u>JP 51125061</u>	A2	19761101	JP 1974-77091	19740704
<u>JP 51125062</u>	A2	19761101	JP 1974-85526	19740724
JP 51125064	A2	19761101	JP 1974-88452	19740731
<u>JP 51075056</u>	A2	19760629	JP 1975-2650	19741223
BE 830934	A1	19760102	BE 1975-157924	19750702
<u>CH 618161</u>	A	19800715	CH 1975-8634	19750702
DK 7503023	A	19760105	DK 1975-3023	19750703
FI 7501949	A	19760105	FI 1975-1949	19750703

NO 7502419	A	19760106	NO 1975-2419		19750703
FR 2278335	A1	19760213	FR 1975-20990		19750703
FR 2278335	B1	19821217			
<u>SE 428799</u>	В	19830725	SE 1975-7683		19750703
SE 428799	С	19831103			
NL 7508008	Α	19760106	NL 1975-8008		19750704
<u>AU 7582778</u>	A1	19770106	AU 1975-82778		19750704
ES 439134	A1	19770301	ES 1975-439134		19750704
ZA 7504306	Α	19770525	ZA 1975-4306		19750704
GB 1519495	A	19780726	GB 1975-28394		19750704
<u>HU 172476</u>	P	19780928	HU 1975-FU336		19750704
AT 7505170	Α	19790715	AT 1975-5170		19750704
AT 355034	В	19800211			
CA 1063108	A1	19790925	CA 1975-230828		19750704
AT 7806099	A	19790915	AT 1978-6099		19780822
AT 7806098	A	19800415	AT 1978-6098		19780822
AT 359514	В	19801110			
SE 7903460	Α	19790419	SE 1979-3460		19790419
SE 7903504	A	19790420	SE 1979-3504		19790420
CH 637924	Α	19830831	CH 1980-5357		19800711
PRIORITY APPLN. INFO.:			JP 1974-77091	А	19740704
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			JP 1974-136561	A	19741126
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			JP 1975-3779	A	19741225
			JP 1975-1272	A	19741228
			JP 1975-16584	A	19750207
			JP 1975-18241	A	19750212
			JP 1974-30356	A	19750312
			JP 1975-30356	Α	19750312
			JP 1975-32702	А	19750317
			JP 1975-32703	Α	19750317
			JP 1975-33292	A	19750318
			JP 1975-34830	Α	19750319
			JP 1975-33821	A	19750320
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GT					

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...

GI

 => s beswick, p?/au and harling, j?/au and keanthous, s?/au and lambert, m?/au and

57 BESWICK, P?/AU

65 HARLING, J?/AU

0 KEANTHOUS, S?/AU

937 LAMBERT, M?/AU

1064 PATEL, V?/AU

2313 SIMPSON, J?/AU

L13 0 BESWICK, P?/AU AND HARLING, J?/AU AND KEANTHOUS, S?/AU AND LAMBERT, M?/AU AND PATEL, V?/AU AND SIMPSON, J?/AU

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NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	DEC 05	CASREACT(R) - Over 10 million reactions available
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NEWS 7	DEC 21	IPC search and display fields enhanced in CA/CAplus with the
		IPC reform
NEWS 8	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/
		USPAT2
NEWS 9	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 10	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to
		INPADOC
NEWS 11	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 12	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 13	JAN 30	Saved answer limit increased
NEWS 14	JAN 31	Monthly current-awareness alert (SDI) frequency
		added to TULSA

NEWS EXPRESS

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CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),

AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.

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FULL ESTIMATED COST
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0.21

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http://www.cas.org/ONLINE/UG/regprops.html

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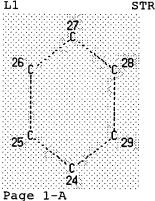
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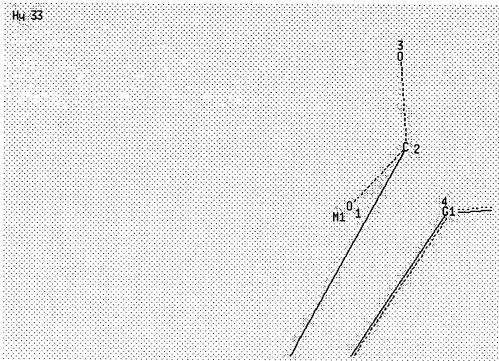
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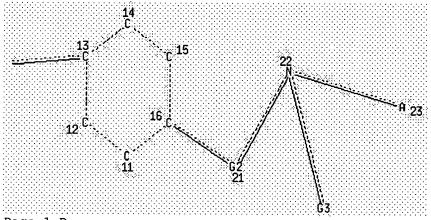
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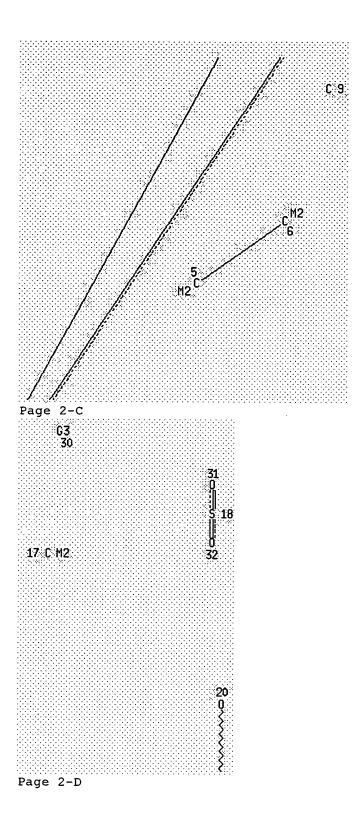




Page 1-C



Page 1-D



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7 C H2
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Page 3-C
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VAR G3=33/24
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

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SAMPLE SCREEN SEARCH COMPLETED - 34602 TO ITERATE

5.8% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

680925 TO 703155

PROJECTED ANSWERS:

0 TO 0

L2 0 SEA SSS SAM L1

=> s li full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END: Y FULL SEARCH INITIATED 01:46:34 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 688949 TO ITERATE

98.8% PROCESSED 680618 ITERATIONS

186 ANSWERS

0 ANSWERS

100.0% PROCESSED 688949 ITERATIONS

186 ANSWERS

SEARCH TIME: 00.00.24

L3 186 SEA SSS FUL L1

=> file hcaplus

COST IN U.S. DOLLARS SINCE FILE

FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 171.34 171.55

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=> s 13

30 L3 L4

=> s 14 and beswick, p?/au 57 BESWICK, P?/AU L5 1 L4 AND BESWICK, P?/AU

=> d 15, ibib abs hitstr, 1

T.5 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN

References Text

2004:2818 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 140:59406

TITLE: Preparation of [[[(hetero)arylamino]methyl]phenoxy]ace tic acid derivatives as hPPAR activators for treatment

of cardiovascular disease and related disorders

INVENTOR(S): Beswick, Paul John; Harling, John David; Kleanthous,

Savvas; Patel, Vipulkumar Kantibhai; Simpson, Juliet

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE							APPLICATION NO.						DATE				
WO 2004000762 WO 2004000762						20031231		WO 2003-EP6416						20030618			
	W:	AE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
							DK,										
							IN,										
							MD,										
							SC,										
							VC,						•	-	•	-	·
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,	AZ,	BY,
							TM,										
							ΙE,										
							CM,										
CA 2489359				ΑA		2003	1231	CA 2003-2489359						20030618			
EP 1513795			A2		2005	0316	EP 2003-738057						20	0030	618		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
BR 2003011935								CY, AL, TR, BG, CZ, EE, BR 2003-11935									

JP 2005534673	Т2	20051117	JP 2004-514762		20030618
NO 2004005327	A	20050310	NO 2004-5327		20041203
PRIORITY APPLN. INFO.:			GB 2002-14254	A	20020620
			WO 2003-EP6416	W	20030618

OTHER SOURCE(S): MARPAT 140:59406 GI

$$\begin{array}{c} 0 \\ R^{3} \\ R^{2} \\ R^{4} \end{array} \begin{array}{c} R^{5} \\ N \\ R^{6} \end{array}$$

AB Title compds. I [wherein R1 and R2 = independently H or alkyl; X = a bond, CH2, or O; R3 and R4 = independently H, alkyl, OCH3, CF3, allyl, or halo; X1 = CH2, SO2, or CO; R5 = alkenyl, alkanoyl, alkylsulfonyl, or (un) substituted alkyl(phenyl); R6 = (un) substituted Ph or 6-membered heteroaryl; or pharmaceutically acceptable salts, solvates, or hydrolyzable esters thereof] were prepd. as human peroxisome proliferator activated receptor (hPPAR) activators. For example, coupling of Et 2-methyl-2-[2-methyl-4-[[[4-(trifluoromethyl)benzyl]amino]methyl]phenoxy]p ropanoate with 2-bromo-6-[4-(trifluoromethyl)phenyl]pyridine in the presence of Pd(OAc)2, (R)-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl, and cesium carbonate in toluene gave the tertiary amine. Sapon. with NaOH in THF provided the acid II. Compds. of the invention showed at least 50% activation of hPPAR δ relative to the pos. control at concns. of 10-7 M or less. Thus, I and their pharmaceutical compns. are useful for the treatment of hPPAR mediated conditions, such as dyslipidemia, syndrome X, heart failure, hypercholesterolemia, cardiovascular disease, type II diabetes mellitus, type I diabetes, insulin resistance, hyperlipidemia, obesity, anorexia bulimia, or anorexia nervosa (no data).

IT 637353-32-3P, 2-Methyl-2-[2-methyl-4-[[[4(trifluoromethyl)benzyl][6-[4-(trifluoromethyl)phenyl]pyridin-2yl]amino]methyl]phenoxy]propanoic acid 637353-33-4P,
2-[4-[[Butyl[6-[4-(trifluoromethyl)phenyl]pyridin-2-yl]amino]methyl]-2methylphenoxy]-2-methylpropanoic acid 637353-34-5P,
[4-[[Butyl[6-[4-(trifluoromethyl)phenyl]pyridin-2-yl]amino]methyl]-2methylphenoxy]acetic acid 637353-35-6P, [4-[[Butyl[4'(trifluoromethyl)-1,1'-biphenyl-3-yl]amino]methyl]-2-methylphenoxy]acetic
acid 637353-36-7P, [4-[[(2-Methoxyethyl)[4'-(trifluoromethyl)1,1'-biphenyl-3-yl]amino]methyl]-2-methylphenoxy]acetic acid
637353-37-8P, [2-Methyl-4-[[(pentyl)[4'-(trifluoromethyl)-1,1'-biphenyl-3yl]amino]methyl]-2-methylphenoxy]acetic acid 637353-38-9P,
[4-[[(2-Cyclopropylethyl)[4'-(trifluoromethyl)-1,1'-biphenyl-3yl]amino]methyl]-2-methylphenoxy]acetic acid 637353-39-0P,
[2-Methyl-4-[[propyl[4'-(trifluoromethyl)-1,1'-biphenyl-3-

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yl]amino]methyl]phenoxy]acetic acid 637353-40-3P,
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 yl]amino]methyl]phenoxy]acetic acid 637353-41-4P,
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1,1'-biphenyl-3-yl)amino]methyl]-2-methylphenoxy]acetic acid
637353-57-2P, [4-[[Butyl(4'-fluoro-2-methyl-1,1'-biphenyl-3-
yl)amino]methyl]-2-methylphenoxy]acetic acid 637353-58-3P,
[4-[[Butyl(4'-cyano-2-methyl-1,1'-biphenyl-3-yl)amino]methyl]-2-
methylphenoxy]acetic acid 637353-59-4P, [4-[[Butyl(4'-methoxy-2-
methyl-1,1'-biphenyl-3-yl)amino]methyl]-2-methylphenoxy]acetic acid
637353-60-7P, [4-[[Butyl(4'-chloro-2-methyl-1,1'-biphenyl-3-
yl)amino]methyl]-2-methylphenoxy]acetic acid 637353-61-8P,
[4-[[(4'-Chloro-2-methyl-1,1'-biphenyl-3-yl)(2-methoxyethyl)amino]methyl]-
2-methylphenoxy] acetic acid \underline{637353-62-9P}, [4-[[(2,4'-Dimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimethyl-Pimet
1,1'-biphenyl-3-yl)(2-methoxyethyl)amino]methyl]-2-methylphenoxy]acetic
acid 637353-63-0P, [4-[[(2-Methoxyethyl)(4'-methoxy-2-methyl-
1,1'-biphenyl-3-yl)amino]methyl]-2-methylphenoxy]acetic acid
637353-64-1P, [2-Methyl-4-[[[2-methyl-4'-(trifluoromethyl)-1,1'-
biphenyl-3-yl](propyl)amino]methyl]phenoxy]acetic acid
637353-65-2P, [4-[[(4'-Chloro-2-methyl-1,1'-biphenyl-3-
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, [4-[[(2,4'-Dimethyl-1,1'-biphenyl-3-yl)(propyl)amino]methyl]-2-
methylphenoxy]acetic acid 637353-67-4P, [4-[[(4'-Fluoro-2-methyl-
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637353-68-5P, [4-[[(4'-Cyano-2-methyl-1,1'-biphenyl-3-
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, [4-[[(4'-Methoxy-2-methyl-1,1'-biphenyl-3-yl)(propyl)amino]methyl]-2-
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methoxyphenyl)-5-methylpyrimidin-4-yl]amino]methyl]-2-methylphenoxy]acetic
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637353-73-2P, [4-[[Butyl[6-(4-chlorophenyl])-5-methylpyrimidin-4-
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[4-(trifluoromethyl)phenyl]pyrazin-2-yl]amino]methyl]phenoxy]acetic acid
637353-77-6P, [2-Methyl-4-[[[5-methyl-6-[4-
(trifluoromethyl)phenyl]pyrimidin-4-yl](propyl)amino]methyl]phenoxy]acetic
acid 637353-78-7P, [4-[[[6-(4-Chlorophenyl)-5-methylpyrimidin-4-
yl](propyl)amino]methyl]-2-methylphenoxy]acetic acid 637353-79-8P
, [2-Methyl-4-[[[5-methyl-6-(4-methylphenyl)pyrimidin-4-
yl](propyl)amino]methyl]phenoxy]acetic acid 637353-80-1p,
[2-Methyl-4-[[[5-methyl-6-[4-(methyloxy)phenyl]pyrimidin-4-
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[4-[[Butyl[6-[4-(trifluoromethyl)phenyl]pyrazin-2-yl]amino]methyl]-2-
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methyloxyethyl) [6-[4-(trifluoromethyl)phenyl]pyrazin-2-
yl]amino]methyl]phenoxy]acetic acid 637353-83-4P,
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ethylphenoxy]acetic acid 637353-84-5P, [4-[[Butyl[2-methyl-4'-
(trifluoromethyl)-1,1'-biphenyl-3-yl]amino]sulfonyl]-2-
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acid 637353-87-8P, [2-Ethyl-4-[[[2-(methyloxy)ethyl][4-[4-
(trifluoromethyl)phenyl]pyrimidin-2-yl]amino]methyl]phenoxy]acetic acid
637353-88-9P, [2-Methyl-4-[[(2-propen-1-yl)]6-[4-
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (hPPAR activator; prepn. of [[[(hetero)arylamino]methyl]phenoxy]acetic
   acid derivs. as hPPAR activators for treatment of cardiovascular
  disease and related disorders)
637353-32-3 HCAPLUS
Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[4-(trifluoromethyl)phenyl]methy
1][6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]amino]methyl]phenoxy]- (9CI)
(CA INDEX NAME)
```

RN

CN

CN Propanoic acid, 2-[4-[{butyl[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]amino]methyl]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN <u>637353-34-5</u> HCAPLUS

CN Acetic acid, [4-[[butyl[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-35-6</u> HCAPLUS

CN Acetic acid, [4-[[butyl[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-36-7 HCAPLUS

CN Acetic acid, [4-[[(2-methoxyethyl)[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-37-8 HCAPLUS

CN Acetic acid, [2-methyl-4-[[pentyl[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-38-9</u> HCAPLUS

CN Acetic acid, [4-[[(2-cyclopropylethyl)[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-39-0 HCAPLUS

CN Acetic acid, [2-methyl-4-[[propyl[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-40-3</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-(methylthio)ethyl][4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 637353-41-4 HCAPLUS

CN Acetic acid, [4-[[butyl[2-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-42-5 HCAPLUS

CN Acetic acid, [4-[[(2-methoxyethyl)[2-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-43-6</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[(1-oxobutyl)[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-44-7</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[(propylsulfonyl)[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 637353-45-8 HCAPLUS

CN Acetic acid, [4-[[butyl[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]amino]sulfonyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-46-9 HCAPLUS

CN Acetic acid, [2-methyl-4-[[pentyl[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]amino]sulfonyl]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-47-0</u> HCAPLUS

CN Acetic acid, [4-[[(2-cyclopropylethyl)[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]amino]sulfonyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-48-1 HCAPLUS

CN Acetic acid, [4-[[butyl[4-[4-(trifluoromethyl)phenyl]-2-pyrimidinyl]amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-49-2</u> HCAPLUS

CN Acetic acid, [4-[[butyl[4-(4-chlorophenyl)-2-pyrimidinyl]amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-50-5 HCAPLUS

CN Acetic acid, [4-[[(2-methoxyethyl)[4-[4-(trifluoromethyl)phenyl]-2-pyrimidinyl]amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-51-6</u> HCAPLUS

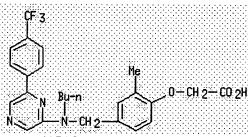
CN Acetic acid, [4-[[[4-(4-chlorophenyl)-2-pyrimidinyl](2-methoxyethyl)amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-52-7 HCAPLUS

CN Acetic acid, [2-methyl-4-[[propyl[4-[4-(trifluoromethyl)phenyl]-2-pyrimidinyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 637353-53-8 HCAPLUS

CN Acetic acid, [4-[[butyl[6-[4-(trifluoromethyl)phenyl]pyrazinyl]amino]methy 1]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



RN <u>637353-54-9</u> HCAPLUS

CN Acetic acid, [4-[[butyl[6-(4-methylphenyl)pyrazinyl]amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

```
Me

N Bu-n D-CH 2-CO.2H
```

RN <u>637353-55-0</u> HCAPLUS

CN Acetic acid, [4-[[(2-methoxyethyl)[6-[4-(trifluoromethyl)phenyl]pyrazinyl] amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-56-1</u> HCAPLUS

CN Acetic acid, [4-[[butyl(2,4'-dimethyl[1,1'-biphenyl]-3-yl)amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-57-2 HCAPLUS

CN Acetic acid, [4-[[butyl(4'-fluoro-2-methyl[1,1'-biphenyl]-3-yl)amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-58-3 HCAPLUS

CN Acetic acid, [4-[[butyl(4'-cyano-2-methyl[1,1'-biphenyl]-3-yl)amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-59-4</u> HCAPLUS

CN Acetic acid, [4-[[butyl(4'-methoxy-2-methyl[1,1'-biphenyl]-3-yl)amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-60-7 HCAPLUS

CN Acetic acid, [4-[[butyl(4'-chloro-2-methyl[1,1'-biphenyl]-3-yl)amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-61-8 HCAPLUS

CN Acetic acid, [4-[[(4'-chloro-2-methyl[1,1'-biphenyl]-3-yl)(2-methoxyethyl)amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-62-9 HCAPLUS

CN Acetic acid, [4-[[(2,4'-dimethyl[1,1'-biphenyl]-3-yl)(2-methoxyethyl)amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-63-0</u> HCAPLUS

CN Acetic acid, [4-[[(2-methoxyethyl)(4'-methoxy-2-methyl[1,1'-biphenyl]-3-yl)amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-64-1</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]propylamino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 637353-65-2 HCAPLUS

CN Acetic acid, [4-[[(4'-chloro-2-methyl[1,1'-biphenyl]-3-yl)propylamino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-66-3</u> HCAPLUS

CN Acetic acid, [4-[[(2,4'-dimethyl[1,1'-biphenyl]-3-yl)propylamino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-67-4</u> HCAPLUS

CN Acetic acid, [4-[[(4'-fluoro-2-methyl[1,1'-biphenyl]-3-yl)propylamino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-68-5</u> HCAPLUS

CN Acetic acid, [4-[[(4'-cyano-2-methyl[1,1'-biphenyl]-3-yl)propylamino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-69-6 HCAPLUS

CN Acetic acid, [4-[[(4'-methoxy-2-methyl[1,1'-biphenyl]-3-yl)propylamino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

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RN <u>637353-70-9</u> HCAPLUS

CN Acetic acid, [4-[[butyl[5-methyl-6-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-71-0 HCAPLUS

CN Acetic acid, [4-[[butyl[6-(4-methoxyphenyl)-5-methyl-4-pyrimidinyl]amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-72-1</u> HCAPLUS

CN Acetic acid, [4-[[butyl[5-methyl-6-(4-methylphenyl)-4-pyrimidinyl]amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

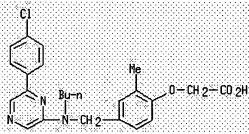
$$\begin{array}{c} \text{Me} \\ \text{O} = \text{CH } 2 + \text{CO } 2 \text{H} \\ \text{Me} \\ \text{Me} \end{array}$$

RN 637353-73-2 HCAPLUS

CN Acetic acid, [4-[[butyl[6-(4-chlorophenyl)-5-methyl-4-pyrimidinyl]amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-74-3 HCAPLUS

CN Acetic acid, [4-[[butyl[6-(4-chlorophenyl)pyrazinyl]amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



RN 637353-75-4 HCAPLUS

CN Acetic acid, [4-[[[6-(4-chlorophenyl)pyrazinyl](2-methoxyethyl)amino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-76-5</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[propyl[6-[4-(trifluoromethyl)phenyl]pyrazinyl]a mino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-77-6</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[5-methyl-6-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]propylamino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 637353-78-7 HCAPLUS

CN Acetic acid, [4-[[[6-(4-chlorophenyl)-5-methyl-4-pyrimidinyl]propylamino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-79-8 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[5-methyl-6-(4-methylphenyl)-4-pyrimidinyl]propylamino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-80-1</u> HCAPLUS

CN Acetic acid, [4-[[[6-(4-methoxyphenyl)-5-methyl-4-pyrimidinyl]propylamino]methyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-81-2</u> HCAPLUS

CN Acetic acid, [4-[[butyl[6-[4-(trifluoromethyl)phenyl]pyrazinyl]amino]methy 1]-2-ethylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-82-3 HCAPLUS

CN Acetic acid, [2-ethyl-4-[[(2-methoxyethyl)[6-[4-(trifluoromethyl)phenyl]pyrazinyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-83-4</u> HCAPLUS

CN Acetic acid, [4-[[butyl[5-methyl-6-(4-methylphenyl)-4-pyrimidinyl]amino]methyl]-2-ethylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-84-5 HCAPLUS

CN Acetic acid, [4-[[butyl[2-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]amino]sulfonyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-85-6</u> HCAPLUS

CN Acetic acid, [4-[[butyl[6-(4-chlorophenyl)-5-methyl-4-pyrimidinyl]amino]methyl]-2-ethylphenoxy]- (9CI) (CA INDEX NAME)

RN 637353-86-7 HCAPLUS

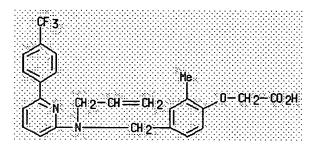
CN Acetic acid, [4-[[butyl[5-methyl-6-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]methyl]-2-ethylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-87-8</u> HCAPLUS

CN Acetic acid, [2-ethyl-4-[[(2-methoxyethyl)[4-[4-(trifluoromethyl)phenyl]-2-pyrimidinyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>637353-88-9</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[2-propenyl[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 01:40:31 ON 06 FEB 2006)

FILE 'REGISTRY' ENTERED AT 01:40:40 ON 06 FEB 2006

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 186 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 01:47:01 ON 06 FEB 2006

L4 30 S L3

L5 1 S L4 AND BESWICK, P?/AU

=> s 14 not 15

L6 29 L4 NOT L5

=> s 16 and harling, j?/au

65 HARLING, J?/AU

L7 0 L6 AND HARLING, J?/AU

=> s 16 and kleanthous, s?/au

9 KLEANTHOUS, S?/AU

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937 LAMBERT, M?/AU

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=> s 16 and patel, v?/au

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L10 0 L6 AND PATEL, V?/AU

=> s 16 and simpson, j?/au

2313 SIMPSON, J?/AU

L11 0 L6 AND SIMPSON, J?/AU

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L6 ANSWER 1 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

Full Siting
Text References
ACCESSION NUMBER:

2006:53048 HCAPLUS

TITLE: Preparation of N-(2-oxoazepan-3-yl)sulfonamides as

 $\gamma\text{-secretase}$ inhibitors for treating Alzheimer's

disease and cancers

INVENTOR(S): Galley, Guido; Kitas, Eric, Argirios; Jakob-Roetne,

Roland

PATENT ASSIGNEE(S):

F. Hoffmann-La Roche AG, Switz.

SOURCE:

PCT Int. Appl., 107 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

LANGUAGE:

Patent

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
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		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	ΜK,	MN,	MW,	MX,	MZ,	NA,
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
		SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
		ZA,	ZM,	ZW													
	RW	AT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	sĸ,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	ΚZ,	MD,	RU,	ТJ,	TM										
	US 200	50149	<u>45</u>		A 1		2006	0119	1	US 2	005-	1797	03		2	0050	712
PRIO	RITY AP	PLN.	INFO	.:					Ī	EP 2	004-	1033	39		A 2	0040	713
GI									_								

$$R^{1}$$
 $0 = S$
 R^{2}
 R^{2}
 R^{3}
 R^{3}
 R^{3}
 R^{4}
 R^{4}
 R^{5}
 R^{2}
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 R^{2}
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 R^{5}
 R^{2}
 R^{5}
 R^{5

Title compds. I [R1 = (un) substituted hetero/aryl; R2-R4, R2'-R4' = H, AB lower alkyl, Ph or lower alkyl substituted by halogen; R5 = cycloalkyl, (un) substituted hetero/aryl; X = CHR; R = H, lower alkyl; and their pharmaceutically suitable acid addn. salts, optical pure enantiomers, racemates or diastereomeric] were prepd. as γ -secretase inhibitors. Thus, reductive amination of 3-fluoro-p-anisaldehyde with 3-aminoazepan-2-one and reaction with 5-chlorothiophene-2-sulfonyl chloride gave sulfonamide II. Preferred I inhibited γ -secretase with IC50 < 0.3 μ M. I are useful in the treatment of Alzheimer's disease or common cancers.

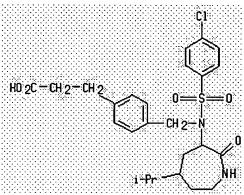
IT <u>873373-47-8</u>P <u>873373-55-8</u>P <u>873373-64-9</u>P <u>873373-71-8</u>P <u>873373-74-1</u>P <u>873373-90-1</u>P <u>873373-91-2</u>P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of N-(2-oxoazepan-3-yl)sulfonamides as γ -secretase inhibitors for treating Alzheimer's disease and cancers)

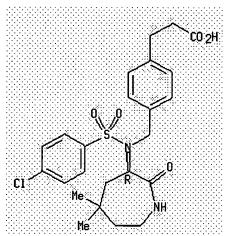
RN 873373-47-8 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN <u>873373-55-8</u> HCAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

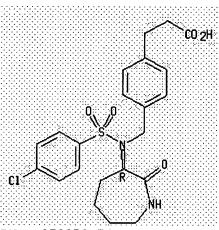


RN <u>873373-64-9</u> HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

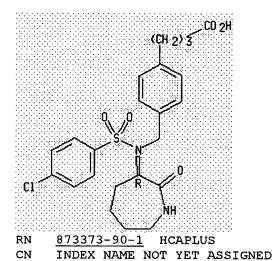
RN <u>873373-71-8</u> HCAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

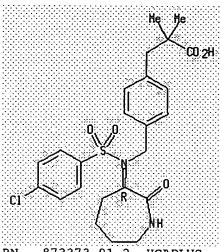


RN <u>873373-74-1</u> HCAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



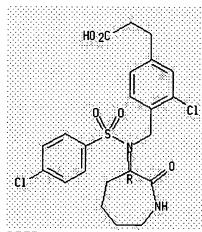
Absolute stereochemistry.



873373-91-2 RN **HCAPLUS**

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

Felerencea Text

ACCESSION NUMBER: 2005:980891 HCAPLUS

DOCUMENT NUMBER: 143:379070

TITLE: Minor structural modifications convert a selective

 $\ensuremath{\mathsf{PPAR}}\alpha$ agonist into a potent, highly selective

PPAR δ agonist

AUTHOR (S): Weigand, Stefan; Bischoff, Hilmar;

Dittrich-Wengenroth, Elke; Heckroth, Heike; Lang,

Dieter; Vaupel, Andrea; Woltering, Michael

CORPORATE SOURCE: Pharma Research, BAYER Health Care AG, Wuppertal,

D-42096, Germany

SOURCE: Bioorganic & Medicinal Chemistry Letters (2005),

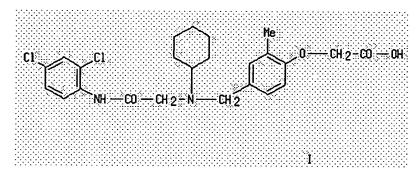
15(20), 4619-4623

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

GI



AB We report the solid-phase synthesis and pharmacol. evaluation of a new series of small-mol. agonists of the human peroxisome proliferator-activated receptor δ (PPAR δ) based on a lead structure from our PPAR α program. Compd. I showed good pharmacokinetics.

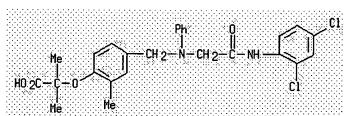
IT 866820-82-8P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(solid-phase prepn. of small-mol. PPAR δ agonists and evaluation for possible use for metabolic disorder treatment)

RN <u>866820-82-8</u> HCAPLUS

CN Propanoic acid, 2-[4-[[[2-[(2,4-dichlorophenyl)amino]-2-oxoethyl]phenylamino]methyl]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

Full Siting
Text Relevances
ACCESSION NUMBER:

ACCESSION NUMBER: 2005:921438 HCAPLUS

DOCUMENT NUMBER: 143:259498

TITLE: Discovery and structure-activity relationships of

novel sulfonamides as potent PTP1B inhibitors

AUTHOR(S): Holmes, Christopher P.; Li, Xianfeng; Pan, Yijun; Xu,

Caiding; Bhandari, Ashok; Moody, Claire M.; Miguel, Joy A.; Ferla, Steven W.; De Francisco, M. Nuria; Frederick, Brian T.; Zhou, Siqun; Macher, Natalie; Jang, Larry; Irvine, Jennifer D.; Grove, J. Russell

CORPORATE SOURCE: Affymax, Inc., Palo Alto, CA, 94304, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2005),

15(19), 4336-4341

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

AB A series of novel sulfonamides contg. a single difluoromethylenephosphonate group were discovered to be potent inhibitors of protein tyrosine phosphatase 1B. Structure-activity relationships around the scaffold were investigated, leading to the identification of compds. with IC50 or Ki values in the low nanomolar range. These sulfonamide-based inhibitors exhibit 100 and 30 times higher inhibitory activity than the corresponding tertiary amines and carboxamides, resp.

IT 863977-05-3P

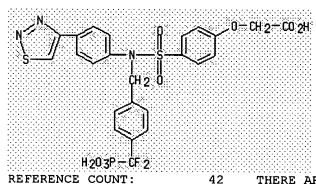
CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(discovery and structure-activity relationships of novel sulfonamides as potent PTP1B inhibitors)

RN 863977-05-3 HCAPLUS

Acetic acid, [4-[[[[4-(difluorophosphonomethyl)phenyl]methyl][4-(1,2,3thiadiazol-4-yl)phenyl]amino]sulfonyl]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2006 ACS on STN L6ANSWER 4 OF 29

8 8 8 8 References Text

ACCESSION NUMBER: 2005:238962 HCAPLUS

DOCUMENT NUMBER: 142:316838

TITLE: Preparation of azole compounds as PPAR α agonists INVENTOR (S): Yamazaki, Yukiyoshi; Toma, Tsutomu; Nishikawa, Masahiro; Ozawa, Hidefumi; Okuda, Ayumu; Araki,

Takaaki; Abe, Kazutoyo; Oda, Soichi

PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan

SOURCE: PCT Int. Appl., 184 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE		
WO 2005023777	A1 20050317	WO 2004-JP12750	20040902		
W: AE, AG, AL	AM, AT, AU, AZ,	BA, BB, BG, BR, BW, BY,	BZ, CA, CH,		
CN, CO, CR	CU, CZ, DE, DK,	DM, DZ, EC, EE, EG, ES,	FI, GB, GD,		
GE, GH, GM	HR, HU, ID, IL,	IN, IS, JP, KE, KG, KP,	KR, KZ, LC,		
LK, LR, LS	LT, LU, LV, MA,	MD, MG, MK, MN, MW, MX,	MZ, NA, NI,		
NO, NZ, OM	PG, PH, PL, PT,	RO, RU, SC, SD, SE, SG,	SK, SL, SY,		
TJ, TM, TN,	TR, TT, TZ, UA,	UG, US, UZ, VC, VN, YU,	ZA, ZM, ZW		
RW: BW, GH, GM,	KE, LS, MW, MZ,	NA, SD, SL, SZ, TZ, UG,	ZM, ZW, AM,		
AZ, BY, KG,	KZ, MD, RU, TJ,	TM, AT, BE, BG, CH, CY,	CZ, DE, DK,		
EE, ES, FI,	FR, GB, GR, HU,	IE, IT, LU, MC, NL, PL,	PT, RO, SE,		
		CI, CM, GA, GN, GQ, GW,			

SN, TD, TG

<u>US 2005101636</u> A1 20050512 <u>US 2004-933467</u> 20040903 <u>PRIORITY APPLN. INFO.: US 2003-499357P</u> P 20030903 <u>JP 2003-317353</u> A 20030909 <u>JP 2003-364817</u> A 20031024

OTHER SOURCE(S): MARPAT 142:316838

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2 = H, Me, ethyl; R3a, R3b, R4a, R4b = H, halo, nitro, etc.; Y = carbonyl, carbonylamino, aminocarbonyl, etc.; X = O, S, NR5; R5 = H, alkyl, alkylsulfonyl, etc.; Z = CH, N; n = 1-6; m = 2-6] were prepd. Thus, compd. II was prepd. from 2-iodophenylisothiocyanate in a multistep process. In PPARα (peroxisome proliferator-activated receptor α) activation assays, the EC50 value of compd. II was 0.001 μM. Compds. I are claimed useful for the treatment of hyperlipidemia, arteriosclerosis, etc.

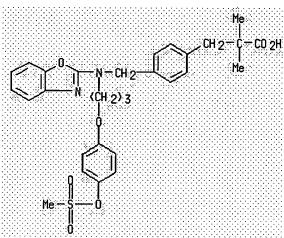
IT 848258-23-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of azole compds. as PPAR α agonists for treatment of hyperlipidemia, arteriosclerosis, etc.)

RN <u>848258-23-1</u> HCAPLUS

CN Benzenepropanoic acid, 4-[[2-benzoxazoly1[3-[4-[(methylsulfonyl)oxy]phenoxy]propyl]amino]methyl]-α,α-dimethyl-(9CI) (CA INDEX NAME)



IT <u>848258-20-8</u>P <u>848258-24-2</u>P <u>848258-37-7</u>P <u>848258-38-8</u>P <u>848258-46-8</u>P <u>848258-51-5</u>P

848258-52-6P 848258-53-7P 848258-54-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of azole compds. as PPAR α agonists for treatment of hyperlipidemia, arteriosclerosis, etc.)

RN 848258-20-8 HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl[2-[3-(dimethylamino)phenoxy]ethyl]am ino]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN <u>848258-24-2</u> HCAPLUS

CN Benzenepropanoic acid, 4-[[2-benzoxazolyl[3-[4- [(methylsulfonyl)oxy]phenoxy]propyl]amino]methyl]- α , α -dimethyl-, sodium salt (9CI) (CA INDEX NAME)

RN <u>848258-37-7</u> HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl[3-[3-(dimethylamino)phenoxy]propyl]a mino]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN <u>848258-38-8</u> HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl[3-[3-(dimethylamino)phenoxy]propyl]a mino]methyl]phenoxy]-2-methyl-, sodium salt (9CI) (CA INDEX NAME)

RN 848258-46-8 HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl(2-phenoxyethyl)amino]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN <u>848258-51-5</u> HCAPLUS

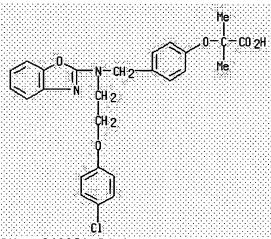
CN Propanoic acid, 2-[4-[[2-benzoxazolyl[2-(4-methoxyphenoxy)ethyl]amino]meth yl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN 848258-52-6 HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl[3-(4-methoxyphenoxy)propyl]amino]met hyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)

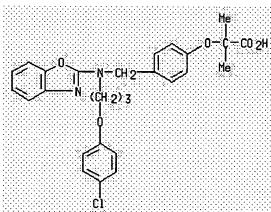
RN <u>848258-53-7</u> HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl[2-(4-chlorophenoxy)ethyl]amino]methy l]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



RN <u>848258-54-8</u> HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl[3-(4-chlorophenoxy)propyl]amino]meth yl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN



ACCESSION NUMBER:

2005:141355 HCAPLUS

DOCUMENT NUMBER: 142:214871

TITLE: Novel chemiluminescent compounds and their use in

immunoassays

INVENTOR(S): Heindl, Dieter; Herrmann, Rupert; Jenni, Wolfgang;

Maerz, Heribert

PATENT ASSIGNEE(S): Roche Diagnostics G.m.b.H., Germany; F.Hoffmann-La

Roche A.-G.

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

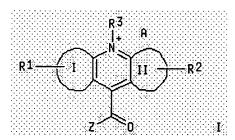
DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

PATE	NT N	10.			KIN	D :	DATE		;	APPL	ICAT:	ION I	NO.		Di	ATE	
WO 2	0050	152	14		A1	_	2005	0217	1	wo 2	004-	EP84	13		2	0040	 728
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		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	ŪG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,
		SN,	TD,	TG													
PRIORITY	RIORITY APPLN. INFO.:]	EP 2	003-	1662	<u>1</u>		A 2	0030	730
OTHER SOU	RCE ((S):			MAR	TAS	142:	2148	71								



AB The present invention relates to novel chemiluminescent compds. (I), to a method for synthesizing these compds., to derivs. and conjugates comprising these compds., to the use of these compds. or conjugates thereof in chemiluminescence based assays, esp. in immunoassays; wherein the fused rings I or II represent an arom. five ring heterocycle or an aryl ring, resp., with the proviso that at least one of I or II is an arom. five ring heterocycle, R1 and R2 independently represent hydrogen, R, halogen, -NR2, -OR, -OH, -S(O)2OH, -CN; -SCN, -SSR, -SR, -C(O)R, -C(O)H, -C(0)OR, -C(0)OH, -NHC(0)R, -C(0)NHR, -C(0)NH2, -S(0)2NHR or -S(0)2NH2; and R represents alkyl, alkenyl, alkynyl or aralkyl, wherein the alkyl, alkenyl or alkynyl can contain up to 20 hetero atoms, R3 represents alkyl, alkenyl, alkynyl or aralkyl, wherein the alkyl, alkenyl or alkynyl can contain up to 20 hetero atoms, and may also contain a coupling moiety, ${\bf Z}$ represents a leaving group, and A, if required, represents a counter-ion to balance a net charge of the compd. Thus, N1-methyl-N-(4-methoxphenyl)-N-(succinimidyloxycarbonylpropylsulfonyl)thieno[2,3-b]quinolinium-4carboxamide trifluoromethylsulfonate was prepd. and used for prepn. of an anti-TSH conjugate and monoclonal antibody against TSH.

IT 842129-95-7P, N-(4-Methoxyphenyl)-N-(carboxypropylsulfonyl)furo[2,

3-b] quinoline-4-carboxamide 842130-00-1P, N-(4-Methoxyphenyl)-N-

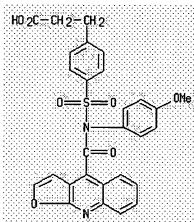
(carboxypropylsulfonyl)thieno[2,3-b]quinoline-4-carboxamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of novel chemiluminescent compds. for immunoassays)

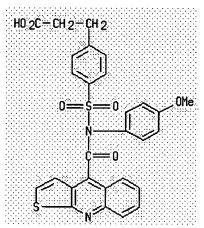
RN 842129-95-7 HCAPLUS

CN Benzenepropanoic acid, 4-[[(furo[2,3-b]quinolin-4-ylcarbonyl)(4-methoxyphenyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)



RN 842130-00-1 HCAPLUS

CN Benzenepropanoic acid, 4-[[(4-methoxyphenyl)(thieno[2,3-b]quinolin-4-ylcarbonyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

8

Full Liting Text Relevences

ACCESSION NUMBER: 2004:1059311 HCAPLUS

DOCUMENT NUMBER: 142:38016

TITLE: Preparation of 2-amino-1-(4-hydroxyphenyl)propanol

derivatives as highly selective agonists of $\beta3$

adrenergic receptor

INVENTOR(S): Ishikawa, Takehiro; Muranaka, Hideyuki; Nakamura,

Tetsuya; Kobayashi, Junichi; Suzuki, Ritsu; Ozawa,

Tomonaga; Tamai, Tetsuro; Akahane, Satoshi

Kissei Pharmaceutical Co., Ltd., Japan

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.						DATE			APPL	ICAT	ION	NO.		D	ATE	
						-									_		
<u>wo</u>	2004	1062	<u>90</u>		A1		2004	1209		WO 2	004-	<u>JP67</u>	<u>57</u>		2	0040	513
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	ВW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
							ID,										
							LV,					-	-		-		
							PL,										-
							TZ,										
	RW:						MW,								-	-	
							RU,										
							GR,										
							CF,									-	
			TD,			•	,		,	,	,	,	- 27	,	,	,	,
PRIORITY	APP	•	,							JP 2	003-	1355	23		A 2	0030	514
OTHER SC	URCE	(S):			MAR	PAT	142:	3801	6								
GI																	

$$\begin{array}{c} \text{Me} \\ \text{HO} \\ \\ \text{OH} \\ \\ \text{NH} - (\text{CH}_{2})_{n} = X \\ \\ \\ \text{R}^{2} \\ \\ \\ \text{N} - \text{SO}_{2} \\ \\ \\ \text{R}^{5} \\ \\ \\ \text{R}^{5} \\ \\ \\ \text{I} \\ \\ \\ \text{II} \\$$

AB Amino alcs. represented by the general formula (I) [wherein R1, R2 = H, halo, lower alkyl, halo-lower alkyl, lower alkoxy, HO, cyano, NO2, NH2, CONH2, mono- or dialkylamino or -carbamoyl, lower acylamino; R3 = H, (un) substituted lower alkyl; R4, R5, R6 = H, halo, halo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cycloalkyl, heterocycloalkyl, lower alkoxy, HO, di(lower alkyl)amino, cyclic amino, di(lower alkyl)amino-lower alkyl, aryl, aryloxy, aralkyloxy, heteroaryl, cyano, lower acyl lower alkylsulfanyl, lower alkylsufonyl, COR7, -A1-COR7, -O-A2-COR7, -NHCOR8, NHCONHR9; R7 = HO, lower alkoxy, aralkyloxy, NH2, mono- or di(lower alkyl)amino, cyclic amino; A1 = lower alkylene or alkenylene; A2 = lower alkylene; R8 = H, lower alkyl, lower alkoxy; R9 = lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, X = a bond, O; n = 2-5] or pharmacol. acceptable salts thereof. These compds. have potent β 3-adrenergic receptor stimulating activity and high selectivity for the receptor and are useful for treating or preventing obesity, diabetes, hyperlipidemia, depression, urinary disorders, diseases caused by gallstone or biliary tract

hyperactivity, or diseases caused by increased function of digestive tract. Thus, N-tosylation of $4-[(1R,2S)-2-[[2-(4-aminophenyl)ethyl]-tert-butoxycarbonylamino]-1-hydroxypropyl]phenyl acetate (prepn. given) by p-toluenesulfonyl chloride in the presence of pyridine in CH2Cl2 followed by treatment with CF3CO2H/CH2Cl2 and then NH3/MeOH and chromatog. purifn. using a reversed phase column (CAPCELL PAK Cl8) gave N-[4-[2-[2-[(1S,2R)-2-hydroxy-2-(4-hydroxyphenyl)-1-methylethyl]amino]ethyl]phenyl]-4-methylbenzenesulfonamide (II) (wherein R10 = Me, R11 = H). II (wherein R10 = CO2H, R11 = Cl) showed agonist activity with ED50 of 0.94, 7.45, and 10-10 to 2 X 10 -4 M for human <math>\beta$ 3, β 2, and β 1 adrenergic receptor, resp.

IT 805235-21-6P

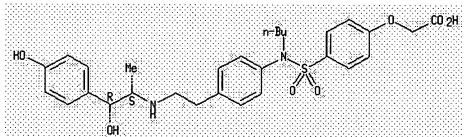
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of amino(hydroxyphenyl)propanol derivs. as highly selective $\beta 3$ adrenergic receptor agonists)

RN <u>805235-21-6</u> HCAPLUS

CN Acetic acid, [4-[[butyl[4-[2-[[(1S,2R)-2-hydroxy-2-(4-hydroxyphenyl)-1-methylethyl]amino]ethyl]phenyl]amino]sulfonyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

6

Full state Text References

ACCESSION NUMBER: 2004:581027 HCAPLUS

DOCUMENT NUMBER: 141:253650

TITLE: Bile acid conjugates of a nonsteroidal glucocorticoid

receptor modulator

AUTHOR(S): Tu, Noah; Link, J. T.; Sorensen, Bryan K.; Emery,

Maurice; Grynfarb, Marlena; Goos-Nilsson, Annika;

Nguyen, Bach

CORPORATE SOURCE: Metabolic Disease Research, Abbott Laboratories,

Abbott Park, IL, 60064-6098, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),

14(16), 4179-4183

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Bile acid conjugates of a selective nonsteroidal glucocorticoid receptor modulator were prepd. and evaluated. Potent GR binding conjugates that showed improved metabolic stability were discovered. However, cellular potency and pharmacokinetics were not substantially improved.

IT 756843-49-9P 756843-52-4P

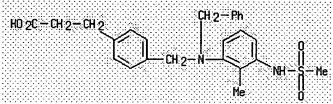
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(bile acid conjugates of nonsteroidal glucocorticoid receptor modulator)

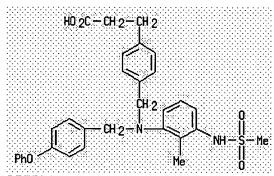
756843-49-9 HCAPLUS RN

CN Benzenepropanoic acid, 4-[[[2-methyl-3-[(methylsulfonyl)amino]phenyl](phen ylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)



RN 756843-52-4 HCAPLUS

Benzenepropanoic acid, 4-[[[2-methyl-3-[(methylsulfonyl)amino]phenyl][(4-CN phenoxyphenyl)methyl]amino]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 29 **HCAPLUS** COPYRIGHT 2006 ACS on STN

8 8 8 8 Full Pelerences Text

2004:565187 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 141:123486

TITLE: Preparation of naphthalene derivatives as selective

estrogen receptor modulators

INVENTOR(S): Hamaoka, Shinichi; Kitazawa, Noritaka; Nara, Kazumasa;

Sasaki, Atsushi; Kamada, Atsushi; Okabe, Tadashi

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan SOURCE: PCT Int. Appl., 982 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

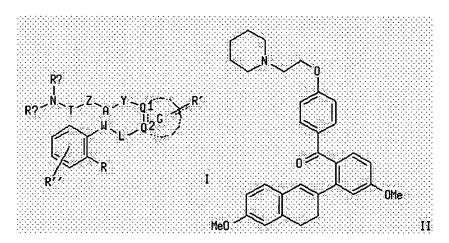
FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.			KIN	D 1	DATE		;	APPL	ICAT	ION	NO.		D	ATE	
WO_2004	0586	 82		A1	- :	2004	 0715	•	WO 2	003-	 JP16	808		2	0031	 225
W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	ΜK,	MN,	MW,	MX,	ΜZ,	NI,	NO,
	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,

TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2512000 AA 20040715 CA 2003-2512000 20031225 EP 1577288 A1 20050921 EP 2003-782904 20031225 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK PRIORITY APPLN. INFO.: JP 2002-378729 A 20021226 WO 2003-JP16808 W 20031225 OTHER SOURCE(S): MARPAT 141:123486

GΙ



AΒ The title compds. I [wherein T = a single bond, (un)substituted alkylene, alkenylene, or alkynylene; A = a single bond, (un)substituted heterocycle, (hetero)arylene, or cyclohydrocarbyl; Y = a single bond, O, S, etc.; Z = CH2O, O, S, etc.; ring G = (hetero)arylene, heterocycle, etc.; Q1 and Q2 = independently N or C; Ra and Rb = independently H, (un) substituted alkyl, alkenyl, alkynyl, etc.; W = a single bond, CO, (un)substituted alkylene, NH, etc.; R' = H, O, S, etc.; R'' = H, OH, halo, etc.; R = H, OH, halo, etc.; L = a single bond, (un) substituted alkylene, alkenylene, or alkynylene] or salts, or hydrates thereof are prepd. as selective estrogen receptor modulators. For example, the compd. II was prepd. in a multi-step synthesis. I showed affinity towards estrogen receptor with Ki of 0.2 to 94 nM in cow.

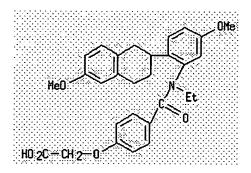
IT 722537-68-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of naphthalene derivs. as selective estrogen receptor modulators)

RN 722537-68-0 HCAPLUS

CN Acetic acid, [4-[[ethyl[5-methoxy-2-(1,2,3,4-tetrahydro-6-methoxy-2naphthalenyl)phenyl]amino]carbonyl]phenoxy]- (9CI) (CA INDEX NAME)



L6 ANSWER 9 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

Full dia a Text Selecences

ACCESSION NUMBER: 2004:412803 HCAPLUS

DOCUMENT NUMBER: 141:1264

TITLE: Receptor function controlling agent

INVENTOR(S): Fukatsu, Kohji; Sasaki, Shinobu; Hinuma, Shuji; Ito,

Yasuaki; Suzuki, Nobuhiro; Harada, Masataka; Yasuma,

Tsuneo

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 442 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT	ΝΟ.		KIN	D	DATE							D	ATE		
WO 2004	10412	<u>66</u>	A1		2004	0521			 JP14			2	0031	106	
	ΑE,														
					DK,										
					IL,										
					MD,										
					RU,										
					us,							,	,		
RW:	BW,											ZW.	AM.	AZ.	
					ТJ,										
					нU,										
					CI,										тG
<u>CA 2505</u>												2		•	
JP 2005															
EP 1559					2005										
R:	AT,														
					RO,									,	
PRIORITY APP			,	,	,	,					72,			108	
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OTHER SOURCE	161.		MAD	שתם	1/11.	1064		···•	 		•	. 2	,,,,	100	

OTHER SOURCE(S): MARPAT 141:1264

AB A GPR40 receptor function controlling agent which contains a compd. having an arom. ring and a group capable of releasing a cation and is useful as a insulin secretion promoting agent or a preventive/remedy for diabetes, etc.

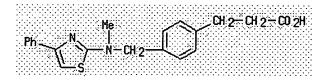
IT 691903-92-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(GPR40 receptor function controlling agents as antidiabetics)

RN <u>691903-92-1</u> HCAPLUS

CNBenzenepropanoic acid, 4-[[methyl(4-phenyl-2-thiazolyl)amino]methyl]-(9CI) (CA INDEX NAME)



ANSWER 10 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN L6

Full Text References

ACCESSION NUMBER: 2004:2833 HCAPLUS

DOCUMENT NUMBER: 140:77141

TITLE: Preparation of 2-[4-(heteroarylaminomethyl)phenoxy]-2-

methylpropanoates for treating a hPPAR mediated

Dodic, Nerina; Dumaitre, Bernard Andre; Gellibert, INVENTOR(S):

Francoise Jeanne; Sierra, Michael Lawrence

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATE	NT 1	NO.			KIN	D	DATE			APPL	ICAT:	ION I	NO.		D	ATE	
WO 20							2003			WO 2	003-	EP64	17 17		2	0030	618
WO 20																	
V	₩:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	ВA,	BB,	ВG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
							IN,										
							MD,										
							sc,										
							VC,						,	,	,	,	,
F	RW:						MZ,						ZM,	ZW.	AM,	AZ.	BY.
							TM,										
							IE,										
							CM,										
EP 15	513																
							ES,										
							RO,										,
JP 20	005																618
US 20																0041	
PRIORITY A										GB 2						0020	
										WO 2			-				
OTHER SOUR	RCE	(S):			MARI	PAT	140:	7714:							_		

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The title compds. [I; R1, R2 = H, alkyl; R3, R4 = H, alkyl, OMe, CF, allyl, halo; n = 0-1; at least of X, Z and Y = O, S, N; R6 = alkyl, CF3, OMe, OCF3, halo; y = 0-5; R7 = H, CF3, alkyl (optionally substituted by phenyl), alkenyl with the proviso that when Z = S, O, R7 = H; R10 = H, alkyl; R5 = H, alkyl, alkoxyalkyl, alkenyl, alkoxy, etc.], useful for treatment of a hPPAR disease or condition such as dyslipidemia, syndrome X, heart failure, hypercholesterolemia, cardiovascular disease, diabetes, insulin resistance, hyperlipidemia, obesity, anorexia bulimia and anorexia nervosa (no biol. data given), were prepd. Thus, reacting Et 2-(4-bromomethyl-2,6-dimethylphenoxy)-2-methylpropionate with [4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]thiophen-3-ylmethylamine (prepns. given) in the presence of cesium carbonate in 3-methyl-2-butanone followed by hydrolysis afforded II. Pharmaceutical compn. comprising the compd. I.

IT 639783-41-8P 639783-43-0P 639783-45-2P

639783-47-4P 639783-49-6P 639783-51-0P

639783-53-2P 639783-56-5P 639783-58-7P

639783-60-1P 639783-62-3P 639783-98-5P

639784-00-2P 639784-02-4P 639784-04-6P

639784-06-8P 639784-08-0P 639784-10-4P

639784-12-6P 639784-14-8P 639784-16-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-[4-(heteroarylaminomethylphenoxy])-2-methylpropanoates for treating a hPPAR mediated diseases)

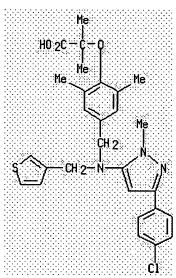
RN <u>639783-41-8</u> HCAPLUS

CN

Propanoic acid, 2-[2,6-dimethyl-4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl](3-thienylmethyl)amino]methyl]phenoxy]-2-methyl-(9CI) (CA INDEX NAME)

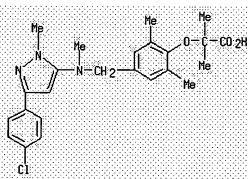
RN <u>639783-43-0</u> HCAPLUS

CN Propanoic acid, 2-[4-[[[3-(4-chlorophenyl)-1-methyl-1H-pyrazol-5-yl](3-thienylmethyl)amino]methyl]-2,6-dimethylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



RN <u>639783-45-2</u> HCAPLUS

CN Propanoic acid, 2-[4-[[[3-(4-chlorophenyl)-1-methyl-1H-pyrazol-5-yl]methylamino]methyl]-2,6-dimethylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



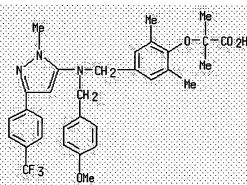
RN <u>639783-47-4</u> HCAPLUS

CN

Propanoic acid, 2-[4-[[[(2-chlorophenyl)methyl][3-(4-chlorophenyl)-1-methyl-1H-pyrazol-5-yl]amino]methyl]-2,6-dimethylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

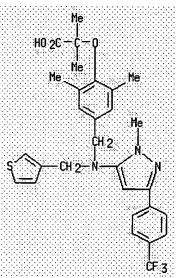
RN <u>639783-49-6</u> HCAPLUS

CN Propanoic acid, 2-[4-[[[(4-methoxyphenyl)methyl][1-methyl-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]amino]methyl]-2,6-dimethylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



RN <u>639783-51-0</u> HCAPLUS

CN Propanoic acid, 2-[2,6-dimethyl-4-[[[1-methyl-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl](3-thienylmethyl)amino]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



RN 639783-53-2 HCAPLUS

CN

Propanoic acid, 2-[4-[[[(4-fluorophenyl)methyl][1-methyl-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]amino]methyl]-2,6-dimethylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN <u>639783-56-5</u> HCAPLUS

CN Propanoic acid, 2-[4-[[[3-(4-chlorophenyl)-1-methyl-1H-pyrazol-5-yl]ethylamino]methyl]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN 639783-58-7 HCAPLUS

CN Propanoic acid, 2-[4-[[[(4-methoxyphenyl)methyl][4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]amino]methyl]-2,6-dimethylphenoxy]-2-methyl-(9CI) (CA INDEX NAME)

RN <u>639783-60-1</u> HCAPLUS

CN Propanoic acid, 2-[4-[[[(2-chlorophenyl)methyl][4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]amino]methyl]-2,6-dimethylphenoxy]-2-methyl-(9CI) (CA INDEX NAME)

RN 639783-62-3 HCAPLUS CN Propanoic acid, 2-[4-

Propanoic acid, 2-[4-[[[(4-fluorophenyl)methyl][4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]amino]methyl]-2,6-dimethylphenoxy]-2-methyl-(9CI) (CA INDEX NAME)

RN 639783-98-5 HCAPLUS

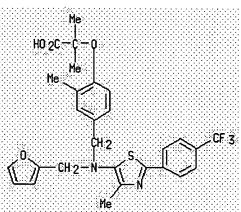
CN Propanoic acid, 2-[4-[[[(4-methoxyphenyl)methyl][4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]amino]methyl]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN 639784-00-2 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl](2-thienylmethyl)amino]methyl]phenoxy]-(9CI) (CA INDEX NAME)

RN <u>639784-02-4</u> HCAPLUS

CN Propanoic acid, 2-[4-[[(2-furanylmethyl)[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]amino]methyl]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



RN <u>639784-04-6</u> HCAPLUS

CN Propanoic acid, 2-[4-[[[(2-methoxyphenyl)methyl][4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]amino]methyl]-2-methylphenoxy]-2-methyl-(9CI) (CA INDEX NAME)

RN <u>639784-06-8</u> HCAPLUS

CN Propanoic acid, 2-[4-[[[(3-methoxyphenyl)methyl][4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]amino]methyl]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN 639784-08-0 HCAPLUS

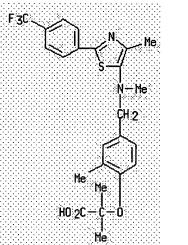
CN Propanoic acid, 2-[4-[[[(2-fluorophenyl)methyl][4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]amino]methyl]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN 639784-10-4 HCAPLUS

CN Propanoic acid, 2-[4-[[[(2-chlorophenyl)methyl][4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]amino]methyl]-2-methylphenoxy]-2-methyl-(9CI) (CA INDEX NAME)

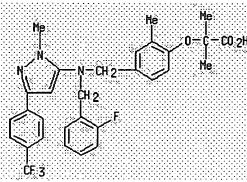
RN 639784-12-6 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[methyl[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)



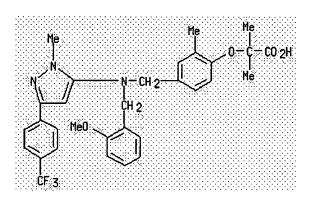
RN <u>639784-14-8</u> HCAPLUS

CN Propanoic acid, 2-[4-[[[(2-fluorophenyl)methyl][1-methyl-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]amino]methyl]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



639784-16-0 **HCAPLUS** RN

CN Propanoic acid, 2-[4-[[[(2-methoxyphenyl)methyl][1-methyl-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]amino]methyl]-2-methylphenoxy]-2methyl- (9CI) (CA INDEX NAME)



ANSWER 11 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

oda a v Full References Text

ACCESSION NUMBER: 2003:922669 HCAPLUS

DOCUMENT NUMBER: 139:395923

TITLE:

Preparation of benzoxazoles as PPAR α agonists INVENTOR (S): Yamazaki, Yukiyoshi; Toma, Tsutomu; Nishikawa,

Masahiro; Ozawa, Hidefumi; Okuda, Ayumu; Abe,

Kazutoyo; Oda, Soichi

PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan

SOURCE: U.S., 63 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>US 6653334</u>	B1	20031125	US 2002-329547	20021227
JP 2004210776	A2	20040729	JP 2003-428197	20031224
EP 1433786	A1	20040630	EP 2003-29917	20031229
R: AT, BE, CH,	DE, DK,	ES, FR, GB	GR, IT, LI, LU,	NL, SE, MC, PT,
IE, SI, LT,	LV, FI,	RO, MK, CY	, AL, TR, BG, CZ,	EE, HU, SK
PRIORITY APPLN. INFO.:			US 2002-329547	A 20021227
OTHER SOURCE(S):	MARPAT	139:395923		

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GI

$$\begin{array}{c} R^2 \\ O - C = CO.2H \\ R^3 \end{array}$$

AB The title compds. [I; R1 = H, alkyl, arylalkyl, etc.; R2, R3 = H, Me, Et; n = 1-3] and their salts, which selectively activate PPAR α , and are useful in preventing and/or treating hyperlipidemia, arteriosclerosis, diabetes, inflammation and heart diseases, were prepd. E.g., a 4-step synthesis of II (starting from 3-hydroxybenzaldehyde and Et 2-bromoisobutyrate) which showed EC50 of 0.001 μM , 0.2 μM and >10 μM with respect to hPPARα, hPPARγ and hPPARδ, resp., was given. Pharmaceutical compn. comprising the compd. I is claimed.

IT 627095-17-4P 627095-18-5P 627095-19-6P

627095-20-9P 627095-21-0P 627095-22-1P 627095-23-2P 627095-27-6P 627095-28-7P

627095-37-8P 627095-38-9P 627096-48-4P

627096-49-5P 627096-50-8P 627096-51-9P

627096-52-0P 627096-53-1P 627096-54-2P

627096-55-3P 627096-56-4P 627096-57-5P

627096-58-6P 627096-59-7P 627096-60-0P

627096-61-1P

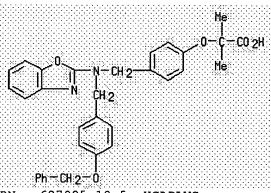
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzoxazoles as PPARα agonists)

RN 627095-17-4 HCAPLUS

CN

Propanoic acid, 2-[4-[[2-benzoxazolyl[[4-(phenylmethoxy)phenyl]methyl]amin o]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



RN 627095-18-5 HCAPLUS

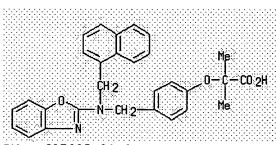
CN Propanoic acid, 2-[4-[[2-benzoxazoly1[(4-methoxypheny1)methy1]amino]methy1]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN <u>627095-19-6</u> HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl[(4-nitrophenyl)methyl]amino]methyl]p henoxy]-2-methyl- (9CI) (CA INDEX NAME)

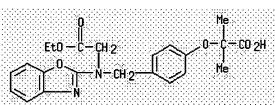
RN 627095-20-9 HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl(1-naphthalenylmethyl)amino]methyl]ph enoxy]-2-methyl- (9CI) (CA INDEX NAME)



RN <u>627095-21-0</u> HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl(2-ethoxy-2-oxoethyl)amino]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



RN 627095-22-1 HCAPLUS

CN Propanoic acid, 2-[4-[(2-benzoxazolyl-2-butynylamino)methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)

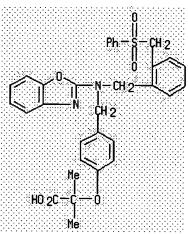
$$\begin{array}{c} \text{Me} \\ \text{He} - \mathbb{C} \Longrightarrow \mathbb{C} - \text{CH}_2 \\ \text{O} \longrightarrow \text{N-CH}_2 \\ \end{array} \qquad \begin{array}{c} \text{Me} \\ \text{O} \longrightarrow \mathbb{C} - \text{CO}_2 \text{H} \\ \text{He} \end{array}$$

RN <u>627095-23-2</u> HCAPLUS

CN Propanoic acid, 2-[4-[(2-benzoxazolyl-5-hexenylamino)methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)

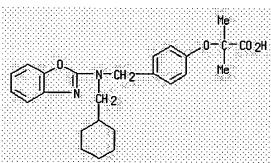
RN <u>627095-27-6</u> HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl[[2-[(phenylsulfonyl)methyl]phenyl]methyl]amino]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



RN <u>627095-28-7</u> HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl(cyclohexylmethyl)amino]methyl]phenox y]-2-methyl- (9CI) (CA INDEX NAME)

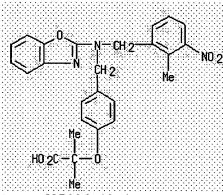


RN <u>627095-37-8</u> HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl[(2-nitrophenyl)methyl]amino]methyl]p henoxy]-2-methyl- (9CI) (CA INDEX NAME)

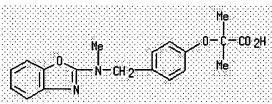
RN <u>627095-38-9</u> HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl[(2-methyl-3-nitrophenyl)methyl]amino]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



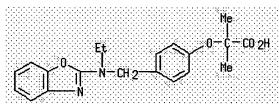
RN <u>627096-48-4</u> HCAPLUS

CN Propanoic acid, 2-[4-[(2-benzoxazolylmethylamino)methyl]phenoxy]-2-methyl-(9CI) (CA INDEX NAME)



RN 627096-49-5 HCAPLUS

CN Propanoic acid, 2-[4-[(2-benzoxazolylethylamino)methyl]phenoxy]-2-methyl-(9CI) (CA INDEX NAME)



RN 627096-50-8 HCAPLUS

CN Propanoic acid, 2-[4-[(2-benzoxazolylpropylamino)methyl]phenoxy]-2-methyl-(9CI) (CA INDEX NAME)

RN 627096-51-9 HCAPLUS

CN Propanoic acid, 2-[4-[(2-benzoxazolylbutylamino)methyl]phenoxy]-2-methyl-(9CI) (CA INDEX NAME)

$$0 \xrightarrow[N-CH:2]{\begin{picture}(100,0) \put(0,0){\line(1,0){100}} \put(0,0){\$$

RN 627096-52-0 HCAPLUS

CN Propanoic acid, 2-[4-[(2-benzoxazolylpentylamino)methyl]phenoxy]-2-methyl-(9CI) (CA INDEX NAME)

RN 627096-53-1 HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl(3-methylbutyl)amino]methyl]phenoxy]-2-methyl-(9CI) (CA INDEX NAME)

RN <u>627096-54-2</u> HCAPLUS

CN Propanoic acid, 2-[4-[(2-benzoxazolylhexylamino)methyl]phenoxy]-2-methyl-(9CI) (CA INDEX NAME)

RN 627096-55-3 HCAPLUS

CN Propanoic acid, 2-[4-[(2-benzoxazolylheptylamino)methyl]phenoxy]-2-methyl-(9CI) (CA INDEX NAME)

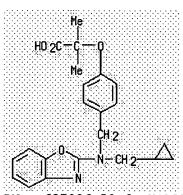
$$\begin{array}{c} \text{Me} = (\text{CH 2}) \cdot 6 \\ \text{O} = (\text{CH 2}) \cdot 6 \\ \text{N} = \text{CH}_2 \end{array} \qquad \begin{array}{c} \text{Me} \\ \text{O} = (\text{CH 2}) \cdot 6 \\ \text{Ne} \end{array}$$

RN 627096-56-4 HCAPLUS

CN Propanoic acid, 2-[4-[(2-benzoxazolyloctylamino)methyl]phenoxy]-2-methyl-(9CI) (CA INDEX NAME)

RN <u>627096-57-5</u> HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl(cyclopropylmethyl)amino]methyl]pheno xy]-2-methyl- (9CI) (CA INDEX NAME)



RN <u>627096-58-6</u> HCAPLUS

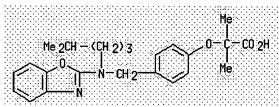
CN Propanoic acid, 2-[4-[[2-benzoxazolyl(3-phenylpropyl)amino]methyl]phenoxy]-2-methyl-(9CI) (CA INDEX NAME)

RN <u>627096-59-7</u> HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl(3-cyclohexylpropyl)amino]methyl]phen oxy]-2-methyl- (9CI) (CA INDEX NAME)

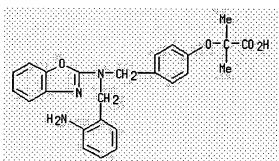
RN 627096-60-0 HCAPLUS

CN Propanoic acid, 2-[4-[[2-benzoxazolyl(4-methylpentyl)amino]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



RN 627096-61-1 HCAPLUS

CN Propanoic acid, 2-[4-[[[(2-aminophenyl)methyl]-2benzoxazolylamino]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

30

Reference Text

ACCESSION NUMBER: 2003:154382 HCAPLUS

DOCUMENT NUMBER:

138:187795

TITLE: Preparation of aryl or heterocyclyl-substituted

benzoic acid and alkanoic acid derivatives as antagonists of prostaglandin E2 (PEG2) receptors Tani, Kousuke; Asada, Masaki; Kobayashi, Kaoru;

Narita, Masami; Ogawa, Mikio

PATENT ASSIGNEE(S):

SOURCE:

INVENTOR(S):

Ono Pharmaceutical Co., Ltd., Japan

PCT Int. Appl., 1009 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

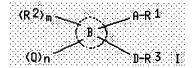
PATENT NO. KIND DATE APPLICATION NO. DATE

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             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
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PRIORITY APPLN. INFO.:
                                            JP 2001-241867
                                                               A 20010809
                                                              W 20020808
                                            WO 2002-JP8120
```

OTHER SOURCE(S):

MARPAT 138:187795

GΙ



AB Carboxylic acid derivs. (I) and nontoxic salts thereof [wherein R1 = CO2H, CO2R4, CH2OH, COR5SO2R6, CONH2, CH2NR5SO2R6, CH2NR9COR10, CH2NR9CONR5SO2R6, CH2SO2NR9COR10, CH2O2CNR5SO2R6, tetrazole, 1,2,4-oxadiazol-5-one, 1,2,4-oxadiazol-5-thione, 1,2,4-thiadiazol-5-one, etc. (wherein R4 = C1-6 alkyl, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, carboxy-C1-4 alkyl, etc.; R5, R9 = H, C1-6 alkyl; R6 = C1-6 alkyl, C3-15 mono-, di-, or tricarbocyclic, 3- to 13-membered mono-, di-, or tricyclic heterocyclyl, etc.; R10 = H, R6); A = a single bond, C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, etc.; the ring B = C3-12 mono- or dicyclic carbocyclic ring, 3- to 12-membered mono- or dicyclic heterocyclic ring; R2 = C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C2-6 alkenyl, C2-6 alkynyl, halo, CHF2, CF3, NO2, cyano, Ph, oxo; m, n = 0,1,2; Q = (C1-4 alkylene,C2-4 alkenylene, or C2-4 alkynylene)-Cyc2, -C1-4 alkylene-Z-Cyc3, amino-C1-4 alkyl, cyano-C1-4 alkyl, acylamino-C1-4 alkyl, 3- to 7-membered monocyclic carbocyclyl, 3- to 6-membered monocyclic heterocyclyl, etc. (wherein Cyc2, Cyc3 = C3-15 mono-, di-, or tricyclic carbocyclyl or heterocyclyl, etc.; Z = O, S, SO, SO2, NH, NHCO, etc.); D = an linking chain consisting of 1-2 or 3-6 of atoms selected from C, N, O, or S, etc.; R3 = C1-6 alkyl, C3-15 mono-, di-, or tricyclic carbocyclyl, 3- to 15-membered mono-, di-, or tricyclic heterocyclyl, etc.] are prepd. carboxylic acid derivs. include phenylpropanoic acid, phenylpropenoic acid, phenylpropanamide, phenylpropenamide, 3-oxoisoindolin-1-ylacetic acid, benzylbenzoic acid, benzylaminoacetic acid, pyrazolylmethylbenzoic acid, benzoylaminoacetic acid, (pyrazolylmethylphenyl)propenoic acid, pyrazolylmethylpropanoic acid, (pyridinyloxyphenyl)propanoic acid, phenoxyacetic acid, phenylbutanoic acid, (pyrazolylmethyl)propanamide, (piperazinylmethylphenyl)propanamide, (morpholinylmethylphenyl)propanamide , (pyridinyloxyphenyl)propanamide, (pyrazolylmethyl)propenamide (oxoimidazolidinylmethylphenyl)propanamide, (oxopyrrolidinylmethylphenyl)p

ropenamide, (thiophenylmethylphenyl)propenamide, (pyrazolylmethylphenylamino) acetamide, (thiazolylaminomethylphenyl) propana mide, thiophenylpropenamide, (pyrazolylmethylphenoxy) acetamide, (phenoxymethyl)benzamide, (pyrazolylmethylphenylethyl)-1,2,4-oxadiazol-5one, and (pyrazolylmethylphenylindolyl)acetic acid. Because of binding to PEG2 receptors, in particular, subtype EP3 and/or subtype EP4 and having antagonism, the compds. I are useful in preventing and/or treating diseases such as pain, allodynia, hyperalgesia, pruritus (itching), urticaria, atopic dermatitis, contact dermatitis, Urushi (Japanese lacquer tree) dermatitis, allergic conjunctivitis, symptoms during dialysis, asthma, rhinitis, allergic rhinitis, nasal congestion, sneeze, psoriasis, pollakiuria (increased urinary frequency), urination disorder, ejaculation (semination) disorder, fever (pyrexia), systemic inflammation reaction, learning disorder, Alzheimer's disease, neovascularization, cancer formation, cancer proliferation, cancer metastasis to organs, cancer metastasis to bone, hypercalcemia accompanied by cancer metastasis to bone, retinopathy, rubrum, erythema (rash), leucoma, skin moth-patch, heat burn, burn, steroid burn, kidney failure, nephropathy, acute or chronic nephritis, blood electrolyte disorder, imminent abortion, threatened abortion, excessive menstruation, dysmenorrhea, endometriosis, premenstrual syndrome, uterine gland myopathy, reprodn. disorder, and stress. They are also useful in preventing and/or treating anxiety, depression, psychophysiol. disorder, mental retardation, thrombus, embolism, transient ischemic attack, cerebral infarction, atheroma, organ transplant, heart failure, hypertension, myocardial infarction, arteriosclerosis, circulation disorders or ulcers assocd. therewith, nerve disorders, vascular dementia, edema, diarrhea, constipation, biliary excretion disorder, ulcerative colitis, Crohn's disease, irritable bowel syndrome, redn. of rebound after using steroid drugs, aids for decreasing or removing steroid drugs, bone diseases, systemic granuloma, immune diseases, pyorrhea alveolaris, gingivitis, periodontal disease, nerve cell death, lung disorder, liver disorder, acute hepatitis, myocardial ischemia, Kawasaki disease, multiple organ failure, chronic headache, angiitis, venous failure, varicose vein (varicosis), anal fistula, diabetes insipidus, neonatal patent ductus arteriosus, and cholelithiasis. Thus, 4-hydroxymethyl-2-[2-(naphthalen-2-yl)ethoxy]cinnamic acid Et ester was mesylated by methanesulfonyl chloride in the presence of Et3N in THF at 0? for 15 min and condensed with pyrazole in the presence of NaH in DMF at 0? to give 2-[2-(naphthalen-2-yl)ethoxy]-4-(1pyrazolylmethyl)cinnamic acid Et ester. 4-[2-[[2-(Naphthalen-1yl)propanoyl]amino]-4-methylthiomethylphenyl]butanoic acid inhibited the binding of [3H]PGE2 to prostaglandin E2 (PEG2) receptor subtype EP1, Ep2, EP3, and EP4 expressed in CHO cells with Ki of >10, >10, 0.27, and 0.038μM, resp. A tablet formulation contg. (2E)-2-[2-(naphthalen-2yl)ethoxy]-4-(1-pyrazolylmethyl)cinnamic acid was described.

IT 499144-51-3P 499144-52-4P 499150-74-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

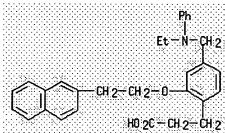
(prepn. of aryl or heterocyclyl-substituted benzoic acid and alkanoic acid derivs. as antagonists of prostaglandin E2 (PEG2) receptors as therapeutic agents)

- RN 499144-51-3 HCAPLUS
- CN Benzenepropanoic acid, 4-[(methylphenylamino)methyl]-2-[2-(2naphthalenyl)ethoxy]- (9CI) (CA INDEX NAME)

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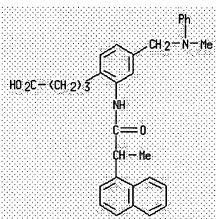
RN 499144-52-4 HCAPLUS

CN Benzenepropanoic acid, 4-[(ethylphenylamino)methyl]-2-[2-(2-naphthalenyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 499150-74-2 HCAPLUS

CN Benzenebutanoic acid, 4-[(methylphenylamino)methyl]-2-[[2-(1-naphthalenyl)-1-oxopropyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

14

Full Cities
Text Feiglerices

ACCESSION NUMBER: 2002:275954 HCAPLUS

DOCUMENT NUMBER: 136:294653

TITLE: Preparation of aminomethylarylalkanoates as peroxisome

proliferator-activated receptor (PPAR- α)

activators.

INVENTOR(S): Urbahns, Klaus; Woltering, Michael; Nikolic, Susanne;

Pernerstorfer, Josef; Hinzen, Berthold; Dittrich-Wengenroth, Elke; Bischoff, Hilmar; Hirth-Dietrich, Claudia; Lustig, Klemens

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 156 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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											US 2	001-	9737	<u>53</u>		A1	20	0110	009
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THER S	SOURC	E ((S):			MARI	TAS	136:	29465	53									

OTHER SOURCE(S): MARPAT 136:294653

AB Title compds. [I; A = bond, CH2, CH2CH2; X = O, S, CH2; R1-R3 = H, alkyl, cycloalkyl, OH, alkoxy, aryloxy, halo, CF3, OCF3, alkylaminosulfonyl, NO2, cyano; R1R2 = atoms to form a cyclohexane or benzene ring; R4 = H, alkyl; R5, R6 = H; R5R6C = CO; R7 = H, alkyl, (substituted) Ph, PhCH2; R8 = H, (substituted) alkyl, aryl; R8, R9 = H, alkyl, alkoxy, CF3, OCF3, halo; R11, R12 = H, alkyl; R11R12C = cycloalkyl; R13 = H, hydrolyzable group], were prepd. Thus, N-[4-(3-tert-butoxy-2,2-dimethyl-3-oxopropyl)benzyl]-N-(2-furylmethyl)glycine (prepn. given), 2,4-dimethylamiline, 1-hydroxy-1H-benzotriazole, 1-ethyl-3-(3-dimethylamino)propylcarbodiimide

hydrochloride, N-methylmorpholine, and 4-dimethylaminopyridine were stirred in DMF to give 91% tert-butyl-3-[4-[[[2-(2,4-dimethylphenyl)amino-2-oxoethyl](2-furylmethyl)amino]methyl]phenyl]-2,2-dimethylpropionate. Tested I activated PPAR α with EC50 = 0.004-200 nM.

IT 409096-04-4P 409096-05-5P 409096-06-6P

409096-07-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminomethylarylalkanoates as peroxisome proliferatoractivated receptor activators)

RN <u>409096-04-4</u> HCAPLUS

CN Benzenepropanoic acid, $4-[[[2-[(2,4-dimethylphenyl)amino]-2-oxoethyl]phenylamino]methyl]-<math>\alpha$, α -dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Ho } 2\text{C} = \overset{\text{Me}}{\text{C}} = \text{CH} 2 \\ \text{Me} \end{array}$$

RN 409096-05-5 HCAPLUS

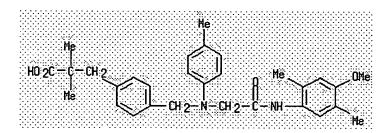
CN Benzenepropanoic acid, $4-[[[2-[(4-methoxy-2,5-dimethylphenyl)amino]-2-oxoethyl]phenylamino]methyl]-<math>\alpha$, α -dimethyl- (9CI) (CA INDEX NAME)

RN <u>409096-06-6</u> HCAPLUS

CN Benzenepropanoic acid, $4-[[[2-[(2,4-dimethylphenyl)amino]-2-oxoethyl](4-methylphenyl)amino]methyl]-<math>\alpha$, α -dimethyl- (9CI) (CA INDEX NAME)

RN <u>409096-07-7</u> HCAPLUS

CN Benzenepropanoic acid, $4-[[[2-[(4-methoxy-2,5-dimethylphenyl)amino]-2-oxoethyl](4-methylphenyl)amino]methyl]-<math>\alpha$, α -dimethyl- (9CI) (CA INDEX NAME)



ANSWER 14 OF 29 HCAPLUS L6 COPYRIGHT 2006 ACS on STN

Full Text

ACCESSION NUMBER:

2001:693265 HCAPLUS 135:242013

DOCUMENT NUMBER:

TITLE:

Preparation of 4-(2-amino-2-carboxyethyl)benzoates as

 $\alpha 4\beta 1$ and $\alpha 4\beta 7$ integrin

inhibitors

INVENTOR(S): PATENT ASSIGNEE(S): Cooke, Nigel Graham; Sabio, Michael Lloyd Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.

SOURCE:

PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.		KIN	D	DATE	•		APPL	ICAT	ION :	NO.		D.	ATE	
WO 2001	 068586	5	A2	_	2001	0920		 WO 2	 001-	 EP27	 49		2	0010	
WO 2001	068586	5	A3		2002				-	,			~	0010	J12
W:	AE, A	ĀG, AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
	co, c	CR, CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,
	HR, H	HU, ID,	IL,	IN,	ıs,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,
	LT, I	LU, LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	PL,	PT,	RO,
	RU, S	SD, SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,
	VN, Y	ľU, ZA,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM			
RW:	GH, G	GM, KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪG,	ZW,	ΑT,	BE,	CH,	CY,
	DE, I	OK, ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		CF, CG,													
<u>US 2002</u>	091142	2	A1		2002	0711		US 2	001-	8033	03		2	0010	309
PRIORITY APP	LN. IN	VFO.:						US 2	000-	5257	00	1	A 2	0000	314
								US 2	000-	3041	84P]	P 2	0000	314
OTHER SOURCE	(S):		MAR	PAT	135:	2420	13								

GΙ

$$\begin{array}{c} R^2 & 1 & 0 \\ R^3 & A & 0 \end{array}$$

AB The title compds. (I) [wherein A = (hetero) arom. ring; Q = bond, CO,alkylene optionally substituted by OH or Ph, alkenylene, or O-alkylene; X = OR5 or NR5R6; R1, R2, and R3 = independently H, halo, OH, alkyl, alkoxy, NO2, NH2, carboxy (amide or ester), CN, alkylcarbonyl, alkylthio, alkylsulfonyl, sulfamoyl, Ph, or heterocyclic; or 2 of R1-R3 together form alkylenedioxy; R4 = H, alkyl(interrupted by 1 or more O), alkenyl, alkynyl, morpholinoalkyl, aminoalkyl, etc.; R5 and R6 = independently H, alkyl optionally substituted by F or (un) substituted (hetero) aryl; with proviso] and their pharmaceutically acceptable salts were prepd. as inhibitors of $\alpha 4\beta 1$ and/or $\alpha 4\beta 7$ integrins. For example, a mixt. of tert-Bu 4-[(S)-2-amino-2-methoxycarbonylethyl]benzoate ?HCl (prepn. given), (S)-3-acetylthiazolidine-4-carboxylic acid, 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide?HCl, 1-hydroxy-7-azabenzotriazole, and di-isopropylethylamine in DMF was stirred at room temp. for 18 h to give II. One or more of the invention compds. was tested for cell adhesion inhibitory activity and exhibited IC 50 values as low as 1 nM for VLA-4 binding. I are useful in inhibiting cell adhesion and in the therapeutic or prophylactic treatment of transplant rejection and inflammatory and autoimmune diseases (no data). IT 360045-44-9P 360045-46-1P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

integrin inhibitors for treatment of inflammation, transplant

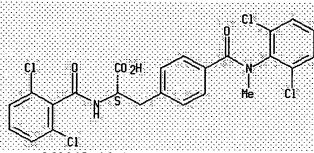
RN 360045-44-9 HCAPLUS

CN L-Phenylalanine, N-(2,6-dichlorobenzoyl)-4-[[(2,6-dichlorophenyl)methylamino]carbonyl]- (9CI) (CA INDEX NAME)

(prepn. of phenylalanine derivs. as $\alpha 4\beta 1$ and $\alpha 4\beta 7$

rejection, and autoimmune diseases)

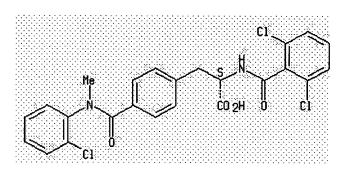
Absolute stereochemistry.



RN 360045-46-1 HCAPLUS

CN L-Phenylalanine, 4-[[(2-chlorophenyl)methylamino]carbonyl]-N-(2,6-dichlorobenzoyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 15 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

Full tird Text References

ACCESSION NUMBER: 2000:900630 HCAPLUS

DOCUMENT NUMBER: 134:56698

TITLE: Preparation process and effect of benzazepine

derivatives as CCR5 antagonists

INVENTOR(S): Shiraishi, Mitsuru; Baba, Masanori; Aramaki, Yoshio;

Kanzaki, Naoyuki; Nishimura, Osamu

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 342 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
															_		
WO	2000	0769	<u>93</u>		Al		2000	1221		<u>wo 2</u>	000-	<u>JP38</u>	<u>79</u>		2	0000	615
	W:	ΑE,	AG,	AL,	AM,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CN,	CR,	CU,	CZ,
		DM,	DZ,	EE,	GD,	GE,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KG,	KR,	ΚZ,	LC,
		LK,	LR,	LT,	LV,	MA,	MD,	MG,	MK,	MN,	MX,	MZ,	NO,	NZ,	PL,	RO,	RU,
		SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	US,	UZ,	VN,	YU,	ZA,	AM,	ΑZ,	BY,
		ΚG,	ΚZ,	MD,	RU,	ТJ,	TM										
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŬĠ,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GΒ,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG			
US	6936	602			В1		2005	0830		US 2	001-	1832	1		1	9990	616
CA	2380	<u>860</u>			AA		2000	1221		CA 2	000-	2380	860		2	0000	615
EΡ	1186	<u>604</u>			A1		2002	0313		EP 2	000-	9390	65		2	0000	615
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO										

JP 2001058992 PRIORITY APPLN. INFO.: A2 20010306

JP 2000-185904 JP 1999-170345 WO 2000-JP3879 20000616 A 19990616 W 20000615

OTHER SOURCE(S):

MARPAT 134:56698

GI

AB Title compds. [I; R1 is a five- or six-membered arom. ring which bears a substituent represented by the general formula: R21XZ2; R is hydrogen or optionally substituted hydrocarbyl; X is optionally substituted alkylene; and Z1 and Z2 are each a heteroatom and may be further substituted, with R being optionally bonded to the arom. ring to form another ring; Y is optionally substituted imino; and R2 and R3 are each optionally substituted aliph. hydrocarbyl or an optionally substituted hetero-alicyclic group] and salts, which exhibit CCR5 antagonism and exert preventive and therapeutic effects against HIV infections in mammal. Thus, the title compd. II was prepd.

IT 313755-08-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. process and effect of benzazepine derivs. as CCR5 antagonists)

RN 313755-08-7 HCAPLUS

CN L-Phenylalanine, 4-[[methyl(tetrahydro-2H-pyran-4-yl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 11

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

Full lares
Text References

ACCESSION NUMBER: 1998:259658 HCAPLUS

DOCUMENT NUMBER: 128:294701

TITLE: Preparation of N-bipiperidinylbenzamides and analogs

as cell adhesion inhibitors

INVENTOR(S): Pieper, Helmut; Linz, Guenter; Austel, Volkhard;

Himmelsbach, Frank; Guth, Brian; Weisenberger,

Johannes

PATENT ASSIGNEE(S): Dr. Karl Thomae G.m.b.H., Germany

SOURCE: Ger. Offen., 40 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAS	PENT		KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE			
						_					-				_		
DE	1964	<u>3331</u>			A1		1998	0423		DE 1	996-	1964	3331		1	9961	021
WO	9817	646			A1		1998	0430		WO 1	997-	EP56	83		1	9971	015
	w:	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK,	EE,	ES,	FI,	GΒ,	GE,	GH,	HU,	ID,	IL,	IS,	JP,	KE,	KG,	KΡ,	KR,
		ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	UA,	UG,
		US,	UZ,	VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM		
	RW:	GH,	KE,	LS,	MW,	SD,	SZ,	ŪG,	ZW,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,
		GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,
		GN,	ML,	MR,	ΝE,	SN,	TD,	TG									
AU	<u>AU 9748674</u>						1998	0515	:	AU 1	997-	4867	4		1	9971	015
PRIORITY	RIORITY APPLN. INFO.:									DE 1:	996-	1964	3331	1	A 1	9961	021
	CIONIII APPLIA. TATO									WO 1	997-:	EP56	83	1	W 1	9971	015

OTHER SOURCE(S): MARPAT 128:294701

GI

AB RaZNRbABD [I; A = Z1Z2; B = CO, CH2CO, OCH2CO, NHCH2CO, etc.; D = OH, (phenyl)alkoxy, cycloalkyloxy, etc.; Ra = H, (ar)alkyl, metabolically labile group, etc.; Rb = H, (cyclo)alkyl, aryl(alkyl), pyridyl(alkyl), ZRa, etc.; Z = 4,1'-bipiperidine-1,4'-diyl; Z1 = CO, CH2, CONH; Z2 = cyclohexylene, phenylene, etc.] were prepd. Thus, 4-(MeO)C6H4CH2NH2 was reductively condensed with 1-tert-butoxycarbonyl-4-piperidone and the product amidated by 4-(HO2C)C6H4OCH2CO2Me to give, in 3 addnl. steps, title compd. II. Data for biol. activity of I were given.

IT 206273-46-3P 206273-47-4P 206273-48-5P

206273-49-6P206273-50-9P206273-56-5P206273-59-8P206273-63-4P206273-64-5P206273-65-6P206273-66-7P206273-67-8P206273-74-7P206273-75-8P206273-76-9P206273-77-0P206273-79-2P206273-81-6P

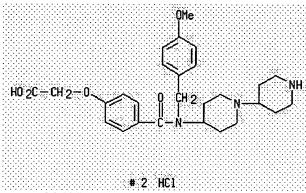
206273-82-7P 206273-83-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-bipiperidinylbenzamides and analogs as cell adhesion inhibitors)

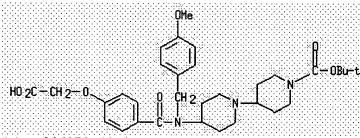
RN <u>206273-46-3</u> HCAPLUS

CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl[(4-methoxyphenyl)methyl]amino]ca rbonyl]phenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



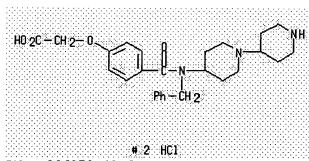
RN <u>206273-47-4</u> HCAPLUS

CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[[4-(carboxymethoxy)benzoyl][(4-methoxyphenyl)methyl]amino]-, 1'-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



RN <u>206273-48-5</u> HCAPLUS

CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl(phenylmethyl)amino]carbonyl]phen oxy]-, dihydrochloride (9CI) (CA INDEX NAME)



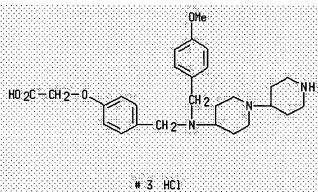
RN <u>206273-49-6</u> HCAPLUS

CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl(phenylmethyl)amino]methyl]phenox

y]-, trihydrochloride (9CI) (CA INDEX NAME)

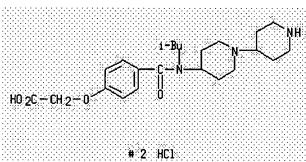
RN 206273-50-9 HCAPLUS

CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl[(4-methoxyphenyl)methyl]amino]methyl]phenoxy]-, trihydrochloride (9CI) (CA INDEX NAME)



RN 206273-56-5 HCAPLUS

CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl(2-methylpropyl)amino]carbonyl]ph enoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



RN 206273-59-8 HCAPLUS

CN Acetic acid, [4-[([1,4'-bipiperidin]-4-ylmethylamino)carbonyl]phenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

RN <u>206273-63-4</u> HCAPLUS

CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl[(4-fluorophenyl)methyl]amino]car bonyl]phenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

$$HO_2C = CH_2 = 0$$
 0
 CH_2
 NH
 CH_2
 NH
 NH
 CH_2
 CH_2
 NH
 CH_2
 NH
 NH

RN 206273-64-5 HCAPLUS

CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl(cyclohexylmethyl)amino]carbonyl] phenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

RN <u>206273-65-6</u> HCAPLUS

CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl(3-pyridinylmethyl)amino]carbonyl]phenoxy]-, trihydrochloride (9CI) (CA INDEX NAME)

RN 206273-66-7 HCAPLUS

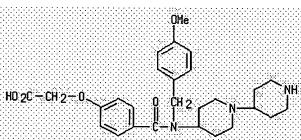
CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl(4-pyridinylmethyl)amino]carbonyl phenoxy]-, trihydrochloride (9CI) (CA INDEX NAME)

RN <u>206273-67-8</u> HCAPLUS

CN Glycine, N-[1,4'-bipiperidin]-4-yl-N-[4-(carboxymethoxy)benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)

2 HCl RN 206273-74-7 HCAPLUS

CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl[(4-methoxyphenyl)methyl]amino]ca rbonyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 206273-75-8 HCAPLUS

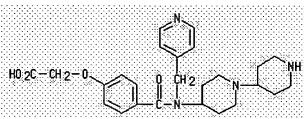
CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl(phenylmethyl)amino]carbonyl]phen oxy]- (9CI) (CA INDEX NAME)

RN <u>206273-76-9</u> HCAPLUS

CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl(2-methylpropyl)amino]carbonyl]ph enoxy]- (9CI) (CA INDEX NAME)

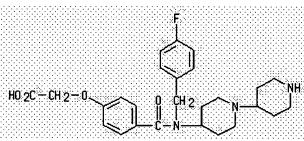
RN <u>206273-77-0</u> HCAPLUS

CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl(4-pyridinylmethyl)amino]carbonyl]phenoxy]- (9CI) (CA INDEX NAME)



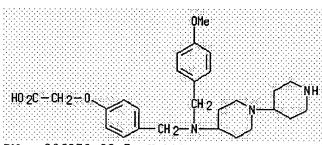
RN <u>206273-79-2</u> HCAPLUS

CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl[(4-fluorophenyl)methyl]amino]car bonyl]phenoxy]- (9CI) (CA INDEX NAME)



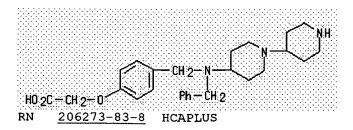
RN <u>206273-81-6</u> HCAPLUS

CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl[(4-methoxyphenyl)methyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

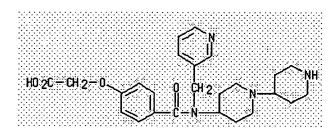


RN <u>206273-82-7</u> HCAPLUS

CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl(phenylmethyl)amino]methyl]phenox y]- (9CI) (CA INDEX NAME)



CN Acetic acid, [4-[[[1,4'-bipiperidin]-4-yl(3-pyridinylmethyl)amino]carbonyl]phenoxy]- (9CI) (CA INDEX NAME)



L6 ANSWER 17 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

Full dara Text References

ACCESSION NUMBER: 1998:163568 HCAPLUS

DOCUMENT NUMBER: 128:204814

TITLE: Preparation of quinoline moiety-containing

benzenesulfone derivatives as leukotriene and

thromboxane A2 antagonists

INVENTOR(S): Yokota, Masaki; Kawazoe, Souichirou; Okamoto,

Yoshinori; Kubota, Hirokazu; Naito, Ryo; Arakida,

Yasuhito

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIN	KIND DATE		APPLICATION NO.						DATE					
<u>WO 9808820</u>				A1	19980305		1	WO 1997-JP2934				19970825						
	W:	AL,	AM,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GE,	GH,	
		HU,	IL,	IS,	JP,	KΕ,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LV,	MD,	MG,	
		MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,	RO,	RU,	SD,	SG,	SI,	SK,	SL,	ТJ,	TM,	
		TR,	TT,	UA,	UG,	US,	UZ,	VN,	YU,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM
	RW:	GH,	KE,	LS,	MW,	SD,	SZ,	ŪG,	ZW,	ΑT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	
		GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	
		GN,	ML,	MR,	NE,	SN,	TD,	TG										
AU	9738	<u>684</u>			A1		1998	0319		AU 1	997-	3868 ₉	4		1	9970	825	
PRIORITY APPLN. INFO.:									JP 1996-224236				A 19960826					
									1	WO 1	997-	JP29:	34	Ī	v 1:	9970	325	

OTHER SOURCE(S): MARPAT 128:204814

GI

$$\begin{array}{c} \text{A1}(Y)_{2}\text{A2R} \\ \text{(CH}_{2})_{m}(\text{NH})_{n}\text{SO}_{2} & \text{D} \end{array}$$

AB The title compds. I [ring B represents an optionally substituted quinoly] group; ring D represents an optionally substituted Ph group; E represents CHX, etc.; one of Al and A2 represents an optionally substituted methylene group or an optionally substituted ethylene group with the other representing a single bond, an optionally substituted methylene group, or an optionally substituted ethylene group; a proviso is given; X represents an oxygen atom or a sulfur atom; Y represents an optionally substituted phenylene group, an optionally substituted phenyleneoxy group, etc.; Z represents CH:CH, CH2CH2, CH2O, or OCH2; R represents a carboxyl group or tetrazolyl group which may be optionally substituted with an ester residue; p, n are each 0 or 1; and m represents 1, 2, or 3] are prepd. I are useful in the treatment of asthma. In an in vitro test for inhibiting activity against the contraction of guinea pig ileum induced by leukotriene D4 (LTD4) (10-9 M), the title compd. II showed IC50 of 0.00036 μM . In an in vitro test for inhibition of platelet aggregation induced by U-46619 (thromboxane A2 analog) (10-6 M), II showed IC50 of 0.45 μM .

IT 203939-99-5P 203940-02-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinoline moiety-contg. benzenesulfone derivs. as leukotriene and thromboxane A2 antagonists)

RN <u>203939-99-5</u> HCAPLUS

CN Acetic acid, [4-[[[[(4-chlorophenyl)sulfonyl]amino]acetyl][3-[2-(7-chloro-2-quinolinyl)ethenyl]phenyl]amino]methyl]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

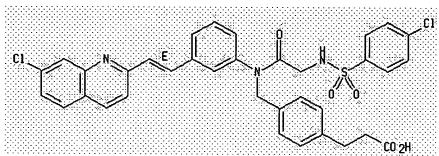
Double bond geometry as shown.

RN 203940-02-7 HCAPLUS

CN Benzenepropanoic acid, 4-[[[[((4-chlorophenyl)sulfonyl]amino]acetyl][3-[2-(7-chloro-2-quinolinyl)ethenyl]phenyl]amino]methyl]-, (E)- (9CI) (CA

INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 18 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN L6

Full Text References

ACCESSION NUMBER:

1995:330551 HCAPLUS

DOCUMENT NUMBER:

122:108666

TITLE:

Acridinium oligonucleotide probes, their preparation

INVENTOR(S):

Skrzipczyk, Heinz Juergen; Uhlmann, Eugen; Mayer,

Andreas

PATENT ASSIGNEE(S):

Hoechst A.-G., Germany

SOURCE:

Eur. Pat. Appl., 69 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

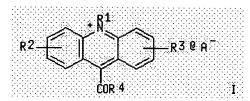
LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE		
EP 602524	A1	19940622	EP 1993-119783		19931208		
R: AT, BE, CH,	DE, DK	, ES, FR,	GB, IT, LI, NL, SE				
<u>FI 9305579</u>	A	19940616	FI 1993-5579		19931213		
<u>CA 2111384</u>	AA	19940616	CA 1993-2111384		19931214		
<u>JP 06209798</u>	A2	19940802	JP 1993-342076		19931214		
PRIORITY APPLN. INFO.:			DE 1992-4242202	A	19921215		
GI							



AB Acridinium compds. (I; R1 = H, hydrocarbyl; R2, R3 = H, alkyl, amino, alkoxy, cyano, carboxy, nitro, halo; R4 = nucleotide-attaching sulfonamido group; A- = anion, such as SO3F-, F3CCO2-) are obtained for chemiluminescence labeling of oligonucleotides in immunoassay. benzyl 4-(N-phenylsulfonamido)benzoate was condensed with 9-acridinecarboxylic acid chloride hydrochloride to give an acridinecarboxamide, which was debenzylated with HBr and the resulting acid hydrobromide was esterified with N-hydroxysuccinimide. The ensuing

succinimidyloxy ester could then be converted to the trifluoroacetate or fluorosulfate salt for use as a label.

IT 125603-07-8P 125603-20-5P 125603-26-1P

160680-01-3P 160680-12-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; acridinium probes for chemiluminescent labeling of oligonucleotides)

RN 125603-07-8 HCAPLUS

CN Benzenepropanoic acid, 4-[[(9-acridinylcarbonyl)(4-methoxyphenyl)amino]sulfonyl]-, monohydrobromide (9CI) (CA INDEX NAME)

RN <u>125603-20-5</u> HCAPLUS

CN Benzenepropanoic acid, 4-[[(9-acridinylcarbonyl)(2,4-dimethoxyphenyl)amino]sulfonyl]-, monohydrobromide (9CI) (CA INDEX NAME)

RN <u>125603-26-1</u> HCAPLUS

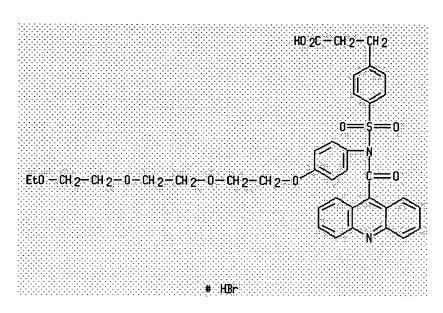
CN Benzenepropanoic acid, 4-[[(9-acridinylcarbonyl)(2,3-dihydro-1,4-benzodioxin-6-yl)amino]sulfonyl]-, monohydrobromide (9CI) (CA INDEX NAME)

RN <u>160680-01-3</u> HCAPLUS

CN Benzenepropanoic acid, 4-[[(9-acridinylcarbonyl)[4-[2-(4-morpholinyl)ethoxy]phenyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)

RN 160680-12-6 HCAPLUS

CN Benzenepropanoic acid, 4-[[(9-acridinylcarbonyl)][4-[2-[2-(2-ethoxyethoxy]ethoxy]phenyl]amino]sulfonyl]-, monohydrobromide (9CI) (CA INDEX NAME)



L6 ANSWER 19 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

Full Litting Text References

ACCESSION NUMBER: 1995:261300 HCAPLUS

DOCUMENT NUMBER: 122:105894

TITLE: Preparation of (tetrazolyl)heterocyclyl-substituted

benzylaminopyridine angiotensin II receptor

antagonists

INVENTOR(S):
De, Biswanath

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: U.S., 46 pp. Cont.-in-part of U.S. Ser. No. 848,618,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
				_		
<u>US 5364869</u>	A	19941115	US 1993-1472		19930107	
PRIORITY APPLN. INFO.:			<u>US 1993-1472</u>	В2	19930107	
			US 1992-848618		19920309	

OTHER SOURCE(S): MARPAT 122:105894

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R3 = H, lower alkyl, halogen, alkoxy; R4 = CO2R7; R7 = H, carboxy-protecting group; R5 = H, (un)substituted lower alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R6 = H, lower alkyl, halogen] [e.g., 4-[N-propyl-N-[[3-bromo-2-[2-(1H-tetrazol-5-yl)phenyl]benzo[6]thiophenyl-6-yl]methyl]amino]pyridine-3-carboxylic acid (sic)], useful as angiotensin II receptor antagonists for the treatment of hypertension (no data) and congestive heart failure (no data), are prepd.

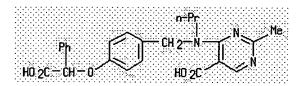
IT 160590-42-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of (tetrazolyl)heterocyclyl-substituted benzylaminopyridine angiotensin II receptor antagonists)

RN <u>160590-42-1</u> HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[[[4-(carboxyphenylmethoxy)phenyl]methyl]pr opylamino]-2-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 20 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

Full Clara Text References

INVENTOR(S):

ACCESSION NUMBER: 1992:214505 HCAPLUS

DOCUMENT NUMBER: 116:214505

TITLE: Preparation and formulation of tetrazole derivatives

as antiallergic and antiinflammatory agents Yoshimoto, Yoshihiko; Yasufuku, Shoji; Makita,

Yoshihiko; Inoue, Kichiro; Nakanouchi, Kei

PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.			APPLICATION NO.	DATE
WO 9200285	A1	19920109	WO 1991-JP830	
W: AU, BR, CA,				
			B, GR, IT, LU, NL, SE	
<u>JP 04297466</u>	A2	19921021	JP 1991-89623	19910327
			CA 1991-2086117	
AU 9180661	A1	19920123	AU 1991-80661	19910620
<u>AU 645101</u>	B2	19940106		
EP 536400	A1	19930414	EP 1991-910848	19910620
			GR, IT, LI, LU, NL,	
BR 9106582	A	19930601	BR 1991-6582	19910620
HU 65633	A2		HU 1992-4072	
JP 2591345	B2		JP 1991-510766	
RU 2115648	C1	19980720		
CN 1063687	A	19920819	CN 1991-111206	
CN 1037681	В			
NO 9204947	A	19930219	NO 1992-4947	19921221
		19950321		
PRIORITY APPLN. INFO.:			JP 1990-165067	
			JP 1991-32327	
			JP 1991-89623	
			WO 1991-JP830	
OTHER SOURCE(S):	МАРРАТ	116:214505		

OTHER SOURCE(S): MARPAT 116:214505

GI

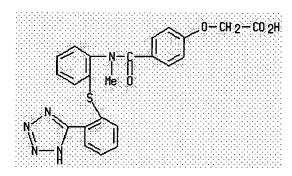
AB Tetrazole derivs. [I; A = (0)m(CHR4)n (wherein R4 = H, alkyl; m, n = 0, 1); B = 0, S(0)p (wherein p = 0-2); R1 = H, alkyl, alkoxy, halo, etc.; R2 = (substituted) alkyl, alkenyl, aralkyl; R3 = H, alkoxy, halo; R9 = H, alkoxy, alkyl, acyloxy, halo, NO2, OH; R10 = H, alkyl], useful in treating bronchial asthma and allergic rhinitis, are prepd. A soln. of amine 2.1 g amine II and Et3N in CH2Cl was stirred with a soln. of 1.7 g 4-(hexyloxy)benzoyl chloride in C6H6, and the soln. was refluxed to give 2.2 g amide III. Also prepd. were 134 addnl. I, which showed LTD4 binding inhibition with IC50 as low as 3.58 8-10 IM, vs. 3.82 6-10 IM with FPL-55712. Tablet and granule formulations were given.

IT <u>140426-93-3</u>P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as antiallergic and antiinflammatory agent)

RN 140426-93-3 HCAPLUS

CN Acetic acid, [4-[[methyl[2-[[2-(1H-tetrazol-5-yl)phenyl]thio]phenyl]amino]carbonyl]phenoxy]- (9CI) (CA INDEX NAME)



L6 ANSWER 21 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

Full Catality
Text Sciences
ACCESSION NUMBER:

1990:141241 HCAPLUS

DOCUMENT NUMBER: 112:141241

TITLE: Reactive acridinium dyes for chemiluminescent

immunoassays

INVENTOR(S): Kinkel, Tonio; Molz, Peter; Schmidt, Erwin; Schnorr,

Gerd; Skrzipczyk, Heinz Juergen

PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 19 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	DATE	APPLICATION NO.	DATE
	19890831	DE 1988-3805318	19880220
C2	19980723		
C2	19980716	DE 1988-3844954	19880220
A2	19890830	EP 1989-102487	19890214
A3	19911106	-	
B1	20000823		
, DE, ES			
E	20000915	AT 1989-102487	19890214
Т3	20010101	ES 1989-102487	19890214
A	19890821	FI 1989-754	19890216
В	19931115		
С	19940225		
A		DK 1989-742	19890217
B1	20041108		
A	19890821	NO 1989-689	19890217
В	19930809		
С			
A2		JP 1989-36428	19890217
A1		CA 1989-591436	19890217
A		NO 1992-3800	19920930
A	19930318	DK 1993-307	19930318
A	19991214	<u>US_1993-93694</u>	19930720
		<u>US 1995-474552</u>	19950607
		<u>US 1995-479196</u>	19950607
Т3	20010228		
		NO 1989-689	A1 19890217
		<u>US 1989-311912</u>	B1 19890217
an an===	am 110.11	<u>US 1993-93694</u>	A3 19930720
	A1 C2 C2 A3 B1, DE, ES T3 A B C A B1 A B C A2 A1 A B1 A A A T3	A1 19890831 C2 19980723 C2 19980716 A2 19890830 A3 19911106 B1 20000823 I, DE, ES, FR, GB, E 20000915 T3 20010101 A 19890821 B 19931115 C 19940225 A 19890821 B1 20041108 A 19890821 B 19930809 C 1993117 A2 19891018 A1 19970826 A 19890821 B1 19980810 A 19930318 B1 20020318 A 19991214 A 19980721 A 19990309 T3 20010228	A1 19890831 DE 1988-3805318 C2 19980716 DE 1988-3844954 A2 19890830 EP 1989-102487 A3 19911106 B1 20000823 A, DE, ES, FR, GB, GR, IT, LI, LU, NL, E 2000915 AT 1989-102487 T3 20010101 ES 1989-102487 A 19890821 FI 1989-754 B 19931115 C 19940225 A 19890821 DK 1989-742 B1 20041108 A 19890821 NO 1989-689 B 19930809 C 19931117 A2 19891018 JP 1989-36428 A1 19970826 CA 1989-591436 A 19890821 NO 1992-3800 B1 19980810 A 19930318 DK 1993-307 B1 20020318 A 19991214 US 1993-93694 A 19980721 US 1995-474552 A 19990309 US 1995-479196

OTHER SOURCE(S): CASREACT 112:141241

GΙ

$$R2$$
 $R3$
 $R3$
 $R3$

AB The title dyes I [A = anion; R1 = H, C1-10 alkyl, alkenyl, alkynyl, PhCH2, aryl; R2, R3 = H, C1-4 alkyl, (un)substituted amino, CO2H, alkoxy, CN, NO2, halogen; R4 = R6NSO2Xr5, R5XNSO2R6; R5 = a substituent which is selectively reactive to biol. bound amino or thiol or carboxy groups; R6 = H, alkyl, alkenyl, C1-10 alkoxy, substituted amino, PhCH2, aryl, heteroaryl, (un)substituted heterocyclic residue; X = divalent arylene

group, direct bond, divalent alkylene group, divalent oxyalkyl groups, S, N], which react with antibodies to form dye-labeled antibodies which are used in chemiluminescent immunoassay procedures, are prepd. Thus, $4'-[N-(4-\text{methoxyphenyl})\,\text{sulfamido}]-3-\text{phenylpropionic}$ acid benzyl ester reacted with 9-acridinecarboxylic acid chloride hydrochloride, the intermediate reacted with HBr in AcOH, the intermediate reacted with chloroformic acid Et ester and N-hydroxysuccinimide, and the intermediate reacted with Me fluorosulfonate, producing N-(4-methoxyphenyl)-N-[4-(2-succinimidoyloxycarbonylethyl)benzenesulfonyl]-10-methylacridinium-9-carboxylic acid amide fluorosulfonate (I). I was conjugated with a TSH antibody and the I-antibody conjugate used in a TSH chemiluminescent immunoassay.

IT 125603-26-1P

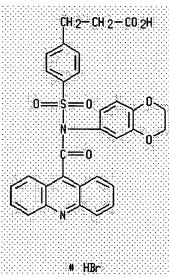
CN

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of)

RN 125603-26-1 HCAPLUS

Benzenepropanoic acid, 4-[[(9-acridinylcarbonyl)(2,3-dihydro-1,4-benzodioxin-6-yl)amino]sulfonyl]-, monohydrobromide (9CI) (CA INDEX NAME)



IT 125603-20-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in chemiluminescent reactive dye manuf.)

RN 125603-20-5 HCAPLUS

CN Benzenepropanoic acid, 4-[[(9-acridinylcarbonyl)(2,4-

dimethoxyphenyl)amino]sulfonyl]-, monohydrobromide (9CI) (CA INDEX NAME)

IT 125603-07-8P

RN

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

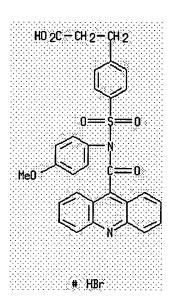
(Reactant or reagent)

(prepn. and reaction of, with chloroformic acid Et ester and

hydroxysuccinimide) 125603-07-8 HCAPLUS

CN Benzenepropanoic acid, 4-[[(9-acridinylcarbonyl)(4-

methoxyphenyl)amino]sulfonyl]-, monohydrobromide (9CI) (CA INDEX NAME)



L6 ANSWER 22 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

Full Biro Text References

ACCESSION NUMBER: 1981:461738 HCAPLUS

DOCUMENT NUMBER: 95:61738

TITLE: Substituted-phenyl substituted-alkyl ethers

INVENTOR(S): Kamiya, Takashi; Saito, Yoshihisa

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: U.S., 21 pp. Cont.-in-part of U.S. Ser. No. 583,474,

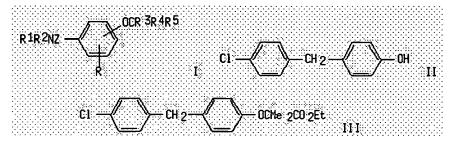
abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4214094	A	19800722	US 1977-782967	19770330
PRIORITY APPLN. INFO.:			US 1975-583474 A	2 19750603
CT				



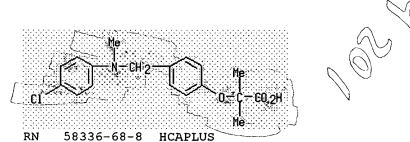
AB Title compds. I (R = H, OH, alkoxy; R1 = aryl, aralkyl; R2 = H, alkyl, aryl, aralkyl; R3 = alkyl, R4 = H, alkyl; R5 = CO2H, alkoxycarbonyl; Z = alkylene) were prepd. as hypolipemics (no data). Thus, phenol II was treated with Me2CBrCO2Et in MeCOCH2CHMe2 contg. K2CO3 under reflux for 6 h to give phenl ether III.

IT <u>58336-67-7</u>P <u>58336-68-8</u>P

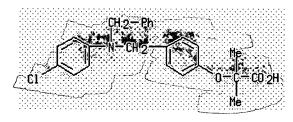
RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN <u>58336-67-7</u> HCAPLUS

CN Propanoic acid, 2-[4-[[(4-chlorophenyl)methylamino]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



CN Propanoic acid, 2-[4-[[(4-chlorophenyl)(phenylmethyl)amino]methyl]phenoxy]-2-methyl-(9CI) (CA INDEX NAME)



L6 ANSWER 23 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

Full CENE Text References

ACCESSION NUMBER: 1981:65461 HCAPLUS

DOCUMENT NUMBER: 94:65461

TITLE: 4-Unsubstituted azetidinone derivatives

INVENTOR(S): Hashimoto, Masashi; Hemmi, Keiji; Kamiya, Takashi; Komori, Tadaaki; Nakaguti, Osamu; Saito, Yoshihisa;

Nocardia) was identified as I, 543 analogs [II; R = NH2 or acylamino; R1 = alkyl (satd. or unsatd., straight-chain or branched) with substituents, e.g., CO2H (or its derivs.), CN, OH, NH2, Ph or substituted Ph] were prepd. by std. procedures and shown to be effective against, e.g., Bacillus subtilis, Escherichia coli, and Staphylococcus aureus.

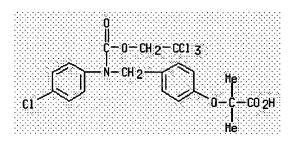
IT <u>59510-89-3</u>

RL: RCT (Reactant); RACT (Reactant or reagent)
 (acylation by, of aminolactacillanic acid)

RN 59510-89-3 HCAPLUS

CN Propanoic acid, 2-[4-[[(4-chlorophenyl)](2,2,2-

trichloroethoxy)carbonyl]amino]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 27 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

Full lting Text References

ACCESSION NUMBER: 1976:73931 HCAPLUS

DOCUMENT NUMBER: 84:73931

TITLE: Phenyl-substituted alkyl ethers INVENTOR(S): Kamiya, Takashi; Saito, Yoshihisa

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Ger. Offen., 86 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2524865	A1	19760102	DE 1975-2524865	19750604
JP 50154214	A2	19751212	JP 1974-63658	19740604
JP 59003465	В4	19840124		
JP 50157325	A2	19751219	JP 1974-66274	19740610
JP 59003466	B4	19840124		
JP 50157326	A2	19751219	JP 1974-66275	19740610
JP 51125229	A2	19761101	JP 1975-15775	19750205
JP 59029575	В4	19840721		
JP 51125230	A2	19761101	JP 1975-15938	19750206
JP 59029576	В4	19840721		
JP 51100033	A2	19760903	JP 1975-26327	19750303
JP 59029577	В4	19840721		
<u>JP 51101938</u>	A2	19760908	JP 1975-26796	19750304
JP 59029578	В4	19840721		
<u>JP 51101977</u>	A2	19760908	JP 1975-27869	19750306
JP 60025425	В4	19850618		
JP 51101939	A2	19760908	JP 1975-27870	19750306
JP 59029579	В4	19840721		
JP 51105022	A2	19760917	JP 1975-28376	19750308
JP 59029580	В4	19840721		

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L2
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L3
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L4
              30 S L3
L5
               1 S L4 AND BESWICK, P?/AU
              29 S L4 NOT L5
L7
               0 S L6 AND HARLING, J?/AU
               0 S L6 AND KLEANTHOUS, S?/AU
L8
L9
               0 S L6 AND LAMBERT, M?/AU
L10
               0 S L6 AND PATEL, V?/AU
L11
               0 S L6 AND SIMPSON, J?/AU
     FILE 'CAOLD' ENTERED AT 01:49:56 ON 06 FEB 2006
=> s 13
L12
              1 L3
=> d 112, all, 1
L12 ANSWER 1 OF 1 CAOLD COPYRIGHT 2006 ACS on STN
     CA55:5848h CAOLD
AN
ΤI
     org. compds. and their biol. activity - (II)
ΑU
    Stavric, B.; Cerkovnikov, E.
 \text{IT} \quad \underline{99987-21-0} \quad \underline{100796-33-6} \quad \underline{\textbf{108922-34-5}} \quad \underline{114617-87-7} \quad \underline{119300-85-5} \quad \underline{119301-71-2} 
     120971-95-1
=> fil reg; d acc 108922-34-5; fil CAOLD
FILE 'REGISTRY' ENTERED AT 01:50:18 ON 06 FEB 2006
ANSWER 1 REGISTRY COPYRIGHT 2006 ACS on STN
     108922-34-5 REGISTRY
RN
ED
     Entered STN: 03 Jul 1987
     Glycine, N-[p-(carboxymethoxy)phenylsulfonyl]-N-2-thiazolyl-, disodium
     salt (6CI) (CA INDEX NAME)
MF
     C13 H12 N2 O7 S2 . 2 Na
SR
     CAOLD
LC
     STN Files: CA, CAOLD, CAPLUS
CRN (807269-04-1)
        ÇH 2-CO 2H
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1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

2 Na

FILE 'CAOLD' ENTERED AT 01:50:18 ON 06 FEB 2006

=> file hcaplus COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 0.44 341.39 SINCE FILE DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -22.50

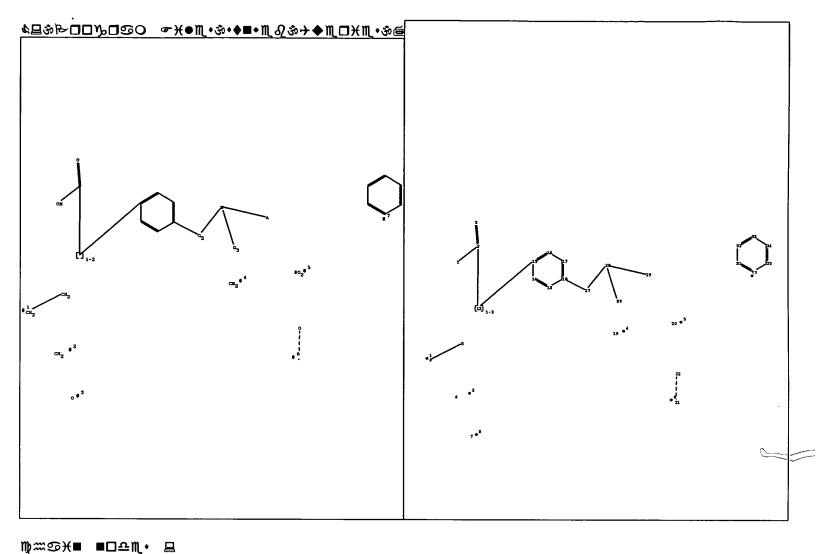
FILE 'HCAPLUS' ENTERED AT 01:50:25 ON 06 FEB 2006
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FILE COVERS 1907 - 6 Feb 2006 VOL 144 ISS 7 FILE LAST UPDATED: 5 Feb 2006 (20060205/ED)

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This file contains CAS Registry Numbers for easy and accurate substance



B-6 **₽**l⊗ [[]γ⊛ □X≡Y₀ ■□≏M• 뭘 <u>~</u>4 നു≈ോ∺■ ହ⊏■•• 🗷 □Ӿ■⅓ ጺ□■ユ・ 믤 ₩⊠ᢒ∰♦ၹ₽■□□○ 윉□■ユ・ 100 1 Va M⊠35M♦ V□■4• 🖻 **■□□○◎●米≋ጢ亞¯ 幻□■亞• 믤** ☐ الأصلاح ا X·□●፡∞♦ጢ♪ □X■⅓ ·▷·♦ጢ○· ᆗ

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NEWS Web Page URLs for STN Seminar Schedule - N. America NEWS "Ask CAS" for self-help around the clock NEWS 3 DEC 05 CASREACT(R) - Over 10 million reactions available NEWS 4 DEC 14 2006 MeSH terms loaded in MEDLINE/LMEDLINE DEC 14 2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER NEWS 5 NEWS 6 DEC 14 CA/CAplus to be enhanced with updated IPC codes 7 DEC 21 IPC search and display fields enhanced in CA/CAplus with the NEWS IPC reform NEWS 8 DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2 NEWS 9 JAN 13 IPC 8 searching in IFIPAT, IFIUDB, and IFICDB NEWS 10 JAN 13 New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC NEWS 11 JAN 17 Pre-1988 INPI data added to MARPAT NEWS 12 JAN 17 IPC 8 in the WPI family of databases including WPIFV NEWS 13 JAN 30 Saved answer limit increased NEWS 14 JAN 31 Monthly current-awareness alert (SDI) frequency

NEWS EXPRESS

JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.01,

CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),

AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.

V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT

added to TULSA

http://download.cas.org/express/v8.0-Discover/

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FILE 'HOME' ENTERED AT 01:59:00 ON 06 FEB 2006

=> file reg COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 01:59:12 ON 06 FEB 2006
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STRUCTURE FILE UPDATES: 3 FEB 2006 HIGHEST RN 873528-70-2 DICTIONARY FILE UPDATES: 3 FEB 2006 HIGHEST RN 873528-70-2

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search iteration limits have been increased. See $\underline{\mathtt{HELP}\ \mathtt{SLIMITS}}$ for details.

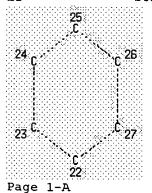
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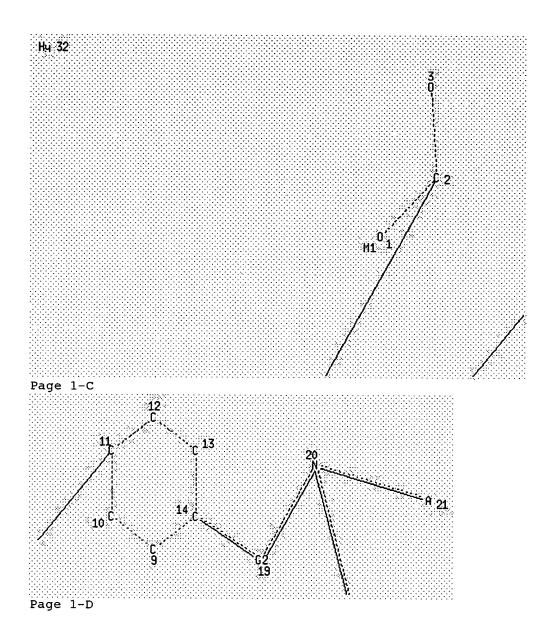
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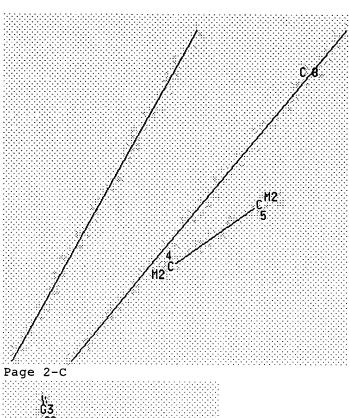
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Uploading structure

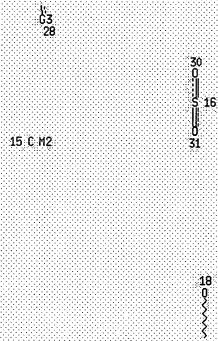
L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR









Page 2-D

G Page 3-B

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6 C M2
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Page 3-C
Page 3-D
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VAR G3=32/22
REP G20=(1-2) 8-2 8-11
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                    ΑT
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         IS M2
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                         4
HCOUNT
        IS M2
                   ΑT
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HCOUNT
        IS M2
                   AT
                         6
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        IS M2
                        15
                   AT
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NSPEC
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                   ΑT
                         2
NSPEC
        IS C
                   AT
                         3
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                   ΑT
                         4
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        IS C
                   ΑT
                         5
NSPEC
        IS C
                   ΑT
NSPEC
        IS C
                   AT
                         7
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        IS C
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        IS R
                   AT
                         9
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NSPEC IS R AT 26 NSPEC IS R AT 27 NSPEC IS C AT 28 NSPEC IS C AT 29 NSPEC IS C AT 30 NSPEC IS C AT 31 DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 1 2 3 4 5 6 7 8 15 16 17 18 20 21 30 31 32

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 32

STEREO ATTRIBUTES: NONE

=> s 11

SAMPLE SEARCH INITIATED 02:01:16 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 16837 TO ITERATE

11.9% PROCESSED 2000 ITERATIONS 0 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 328970 TO 344510

PROJECTED ANSWERS: 0 TO

L2 0 SEA SSS SAM L1

=> s 11 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N or END: y FULL SEARCH INITIATED 02:01:21 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 334089 TO ITERATE

98.3% PROCESSED 328438 ITERATIONS 8 ANSWERS

100.0% PROCESSED 334089 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.22

L3 8 SEA SSS FUL L1

=> file hcaplus

SINCE FILE TOTAL
ENTRY SESSION
168.47 COST IN U.S. DOLLARS

FULL ESTIMATED COST

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FILE COVERS 1907 - 6 Feb 2006 VOL 144 ISS 7 FILE LAST UPDATED: 5 Feb 2006 (20060205/ED)

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=> s 13

L4 4 L3

=> d 14, ibib abs hitstr, 1-4

L4 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2006 ACS on STN

Full Signing
Text Selections

ACCESSION NUMBER: 2005:238962 HCAPLUS

DOCUMENT NUMBER: 142:316838

TITLE: Preparation of azole compounds as PPAR α agonists INVENTOR(S): Yamazaki, Yukiyoshi; Toma, Tsutomu; Nishikawa,

Masahiro; Ozawa, Hidefumi; Okuda, Ayumu; Araki,

Takaaki; Abe, Kazutoyo; Oda, Soichi

PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan SOURCE: PCT Int. Appl., 184 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

<u>PATENT</u> INFORMATION:

PATENT	PATENT NO.					KIND DATE			APPLICATION NO.					DATE			
WO 200	WO 2005023777				A1 20050317			WO 2004-JP12750					20040902				
W:	AE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	
	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	ΝA,	NI,	
	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪĠ,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
RW	: BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	
	SN,	TD,	TG														
<u>US 200</u>	<u>US 2005101636</u>					2005	0512		US 2	004-	9334	<u>67</u>		2	0040	903	
PRIORITY AP	RIORITY APPLN. INFO.:								US 2	003-	<u> 4993</u>	<u>57P</u>		P 2	0030	903	
									JP 2					A 2	0030	909	
									JP 2	003-	3648	<u>17</u>		A 2	0031	024	

OTHER SOURCE(S): MARPAT 142:316838

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2 = H, Me, ethyl; R3a, R3b, R4a, R4b = H, halo, nitro, etc.; Y = carbonyl, carbonylamino, aminocarbonyl, etc.; X = O, S, NR5; R5 = H, alkyl, alkylsulfonyl, etc.; Z = CH, N; n = 1-6; m = 2-6] were prepd. Thus, compd. II was prepd. from 2-iodophenylisothiocyanate in a multistep process. In PPAR α (peroxisome proliferator-activated receptor α) activation assays, the EC50 value of compd. II was 0.001 μ M. Compds. I are claimed useful for the treatment of hyperlipidemia, arteriosclerosis, etc.

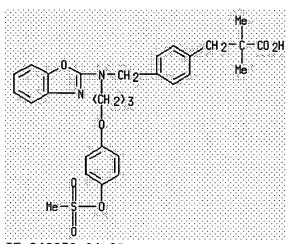
IT 848258-23-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of azole compds. as PPAR α agonists for treatment of hyperlipidemia, arteriosclerosis, etc.)

RN 848258-23-1 HCAPLUS

CN Benzenepropanoic acid, 4-[[2-benzoxazoly1[3-[4-[(methylsulfonyl)oxy]phenoxy]propyl]amino]methyl]-α,α-dimethyl-(9CI) (CA INDEX NAME)



IT 848258-24-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of azole compds. as PPAR α agonists for treatment of hyperlipidemia, arteriosclerosis, etc.)

RN 848258-24-2 HCAPLUS

CN Benzenepropanoic acid, $4-[[2-benzoxazoly1[3-[4-[(methylsulfonyl)oxy]phenoxy]propyl]amino]methyl]-<math>\alpha$, α -dimethyl, sodium salt (9CI) (CA INDEX NAME)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 4 L4HCAPLUS COPYRIGHT 2006 ACS on STN

References

ACCESSION NUMBER: 2004:565187 HCAPLUS

DOCUMENT NUMBER: 141:123486

TITLE: Preparation of naphthalene derivatives as selective

estrogen receptor modulators

INVENTOR(S): Hamaoka, Shinichi; Kitazawa, Noritaka; Nara, Kazumasa;

Sasaki, Atsushi; Kamada, Atsushi; Okabe, Tadashi

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan SOURCE: PCT Int. Appl., 982 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATE	PATENT NO.				KIND DATE			APPLICATION NO.					DATE					
						-												
<u>WO 2</u>	0040	0586	82		A1 20040715			WO 2003-JP16808					20031225					
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
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		BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
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<u>CA 2</u>	5120	000			AA		2004	0715		CA 2	003-	2512	000		2	0031	225	
<u>EP 1</u>	5772	288			A1		2005	0921	EP 2003-782904				04		2	0031	225	
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					LV,													
PRIORITY	APPI	LN.	INFO	.:						JP 2	002-	3787	29		A 2	0021	226	
									WO 2003-JP16808									
OTHER SOURCE(S):					MARPAT 141:123486													

GΙ

$$\begin{array}{c} R? \\ R? \\ N \\ I \\ R \end{array}$$

AB The title compds. I [wherein T = a single bond, (un)substituted alkylene, alkenylene, or alkynylene; A = a single bond, (un)substituted heterocycle, (hetero)arylene, or cyclohydrocarbyl; Y = a single bond, O, S, etc.; Z = CH2O, O, S, etc.; ring G = (hetero)arylene, heterocycle, etc.; Q1 and Q2 = independently N or C; Ra and Rb = independently H, (un)substituted alkyl, alkenyl, alkynyl, etc.; W = a single bond, CO, (un)substituted alkylene, NH, etc.; R' = H, O, S, etc.; R'' = H, OH, halo, etc.; R = H, OH, halo, etc.; L = a single bond, (un)substituted alkylene, alkenylene, or alkynylene] or salts, or hydrates thereof are prepd. as selective estrogen receptor modulators. For example, the compd. II was prepd. in a multi-step synthesis. I showed affinity towards estrogen receptor with Ki of 0.2 to 94 nM in cow.

IT 722538-26-3P

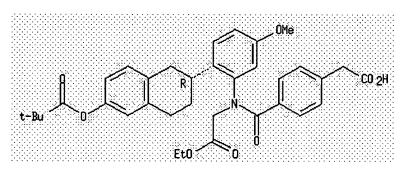
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of naphthalene derivs. as selective estrogen receptor modulators)

RN <u>722538-26-3</u> HCAPLUS

CN Benzeneacetic acid, 4-[[[2-[(2R)-6-(2,2-dimethyl-1-oxopropoxy)-1,2,3,4-tetrahydro-2-naphthalenyl]-5-methoxyphenyl](2-ethoxy-2-oxoethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2006 ACS on STN

Full Califie
Text Selegences
ACCESSION NUMBER:

2003:154382 HCAPLUS

DOCUMENT NUMBER: 138:187795

TITLE: Preparation of aryl or heterocyclyl-substituted

benzoic acid and alkanoic acid derivatives as antagonists of prostaglandin E2 (PEG2) receptors

INVENTOR(S): Tani, Kousuke; Asada, Masaki; Kobayashi, Kaoru;

Narita, Masami; Ogawa, Mikio

PATENT ASSIGNEE(S):

Ono Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 1009 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent Japanese

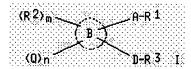
FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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							SG,											
							YU,											
		ТJ,	TM														•	
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	BG,	
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							ВJ,											
		NE,	SN,	TD,	ΤG													
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<u>E</u> 1	P 1431	<u> 267</u>						EP 2002-755874						20020808				
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BI	R 2002	0118	10		A		2004	0824		BR 2	002-	1181	0		2	0020	808	
<u>C1</u>	<u> 1551</u>	<u>866</u>			Α		2004	1201		CN 2	002-	8173	<u> 76</u>		2	0020	808	
<u>27</u>	A 2004	0009	<u>73</u>		Α		2005									0040	205	
NO	2004	0005	<u>64</u>		Α		2004	0510		NO 2	004-	564			2	0040	206	
PRIORI	ORITY APPLN. INFO.:									JP 2	001-	2418	<u>67</u>		A 2	0010	809	
										WO 2	002-	JP81	20	1	W 2	0020	808	
OTHER S	ER SOURCE(S):					ידעס	138.	1877	95									

OTHER SOURCE(S): MARPAT 138:187795

GI



AB Carboxylic acid derivs. (I) and nontoxic salts thereof [wherein R1 = CO2H, CO2R4, CH2OH, COR5SO2R6, CONH2, CH2NR5SO2R6, CH2NR9COR10, CH2NR9CONR5SO2R6, CH2SO2NR9COR10, CH2O2CNR5SO2R6, tetrazole, 1,2,4-oxadiazol-5-one, 1,2,4-oxadiazol-5-thione, 1,2,4-thiadiazol-5-one, etc. (wherein R4 = C1-6 alkyl, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, carboxy-C1-4 alkyl, etc.; R5, R9 = H, C1-6 alkyl; R6 = C1-6 alkyl, C3-15 mono-, di-, or tricarbocyclic, 3- to 13-membered mono-, di-, or tricyclic heterocyclyl, etc.; R10 = H, R6); A = a single bond, C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, etc.; the ring B = C3-12 mono- or dicyclic carbocyclic ring, 3- to 12-membered mono- or dicyclic heterocyclic ring; R2 = C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C2-6 alkenyl, C2-6 alkynyl, halo, CHF2, CF3, NO2, cyano, Ph, oxo; m, n = 0,1,2; Q = (C1-4 alkylene,C2-4 alkenylene, or C2-4 alkynylene)-Cyc2, -C1-4 alkylene-Z-Cyc3, amino-C1-4 alkyl, cyano-C1-4 alkyl, acylamino-C1-4 alkyl, 3- to 7-membered monocyclic carbocyclyl, 3- to 6-membered monocyclic heterocyclyl, etc. (wherein Cyc2, Cyc3 = C3-15 mono-, di-, or tricyclic carbocyclyl or heterocyclyl, etc.; Z = O, S, SO, SO2, NH, NHCO, etc.); D = an linking chain consisting of 1-2 or 3-6 of atoms selected from C, N, O, or S, etc.; R3 = C1-6 alkyl, C3-15 mono-, di-, or tricyclic carbocyclyl, 3- to

15-membered mono-, di-, or tricyclic heterocyclyl, etc.] are prepd. carboxylic acid derivs. include phenylpropanoic acid, phenylpropenoic acid, phenylpropanamide, phenylpropenamide, 3-oxoisoindolin-1-ylacetic acid, benzylbenzoic acid, benzylaminoacetic acid, pyrazolylmethylbenzoic acid, benzoylaminoacetic acid, (pyrazolylmethylphenyl)propenoic acid, pyrazolylmethylpropanoic acid, (pyridinyloxyphenyl)propanoic acid, phenoxyacetic acid, phenylbutanoic acid, (pyrazolylmethyl)propanamide, (piperazinylmethylphenyl)propanamide, (morpholinylmethylphenyl)propanamide , (pyridinyloxyphenyl)propanamide, (pyrazolylmethyl)propenamide (oxoimidazolidinylmethylphenyl)propanamide, (oxopyrrolidinylmethylphenyl)p ropenamide, (thiophenylmethylphenyl)propenamide, (pyrazolylmethylphenylamino)acetamide, (thiazolylaminomethylphenyl)propana mide, thiophenylpropenamide, (pyrazolylmethylphenoxy)acetamide, (phenoxymethyl)benzamide, (pyrazolylmethylphenylethyl)-1,2,4-oxadiazol-5one, and (pyrazolylmethylphenylindolyl) acetic acid. Because of binding to PEG2 receptors, in particular, subtype EP3 and/or subtype EP4 and having antagonism, the compds. I are useful in preventing and/or treating diseases such as pain, allodynia, hyperalgesia, pruritus (itching), urticaria, atopic dermatitis, contact dermatitis, Urushi (Japanese lacquer tree) dermatitis, allergic conjunctivitis, symptoms during dialysis, asthma, rhinitis, allergic rhinitis, nasal congestion, sneeze, psoriasis, pollakiuria (increased urinary frequency), urination disorder, ejaculation (semination) disorder, fever (pyrexia), systemic inflammation reaction, learning disorder, Alzheimer's disease, neovascularization, cancer formation, cancer proliferation, cancer metastasis to organs, cancer metastasis to bone, hypercalcemia accompanied by cancer metastasis to bone, retinopathy, rubrum, erythema (rash), leucoma, skin moth-patch, heat burn, burn, steroid burn, kidney failure, nephropathy, acute or chronic nephritis, blood electrolyte disorder, imminent abortion, threatened abortion, excessive menstruation, dysmenorrhea, endometriosis, premenstrual syndrome, uterine gland myopathy, reprodn. disorder, and stress. They are also useful in preventing and/or treating anxiety, depression, psychophysiol. disorder, mental retardation, thrombus, embolism, transient ischemic attack, cerebral infarction, atheroma, organ transplant, heart failure, hypertension, myocardial infarction, arteriosclerosis, circulation disorders or ulcers assocd. therewith, nerve disorders, vascular dementia, edema, diarrhea, constipation, biliary excretion disorder, ulcerative colitis, Crohn's disease, irritable bowel syndrome, redn. of rebound after using steroid drugs, aids for decreasing or removing steroid drugs, bone diseases, systemic granuloma, immune diseases, pyorrhea alveolaris, gingivitis, periodontal disease, nerve cell death, lung disorder, liver disorder, acute hepatitis, myocardial ischemia, Kawasaki disease, multiple organ failure, chronic headache, angiitis, venous failure, varicose vein (varicosis), anal fistula, diabetes insipidus, neonatal patent ductus arteriosus, and cholelithiasis. Thus, 4-hydroxymethyl-2-[2-(naphthalen-2-yl)ethoxy]cinnamic acid Et ester was mesylated by methanesulfonyl chloride in the presence of Et3N in THF at 0? for 15 min and condensed with pyrazole in the presence of NaH in DMF at 0? to give 2-[2-(naphthalen-2-yl)ethoxy]-4-(1pyrazolylmethyl)cinnamic acid Et ester. 4-[2-[[2-(Naphthalen-1yl)propanoyl]amino]-4-methylthiomethylphenyl]butanoic acid inhibited the binding of [3H]PGE2 to prostaglandin E2 (PEG2) receptor subtype EP1, Ep2, EP3, and EP4 expressed in CHO cells with Ki of >10, >10, 0.27, and 0.038 μM, resp. A tablet formulation contg. (2E)-2-[2-(naphthalen-2yl)ethoxy]-4-(1-pyrazolylmethyl)cinnamic acid was described.

IT 499144-05-7P 499144-06-8P 499144-52-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aryl or heterocyclyl-substituted benzoic acid and alkanoic

acid derivs. as antagonists of prostaglandin E2 (PEG2) receptors as therapeutic agents)

RN 499144-05-7 HCAPLUS

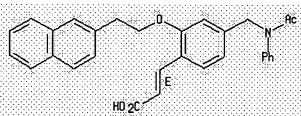
CN 2-Propenoic acid, 3-[4-[[(methylsulfonyl)phenylamino]methyl]-2-[2-(2-naphthalenyl)ethoxy]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 499144-06-8 HCAPLUS

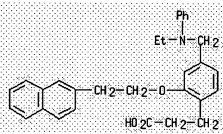
CN 2-Propenoic acid, 3-[4-[(acetylphenylamino)methyl]-2-[2-(2-naphthalenyl)ethoxy]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 499144-52-4 HCAPLUS

CN Benzenepropanoic acid, 4-[(ethylphenylamino)methyl]-2-[2-(2-naphthalenyl)ethoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2006 ACS on STN

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ACCESSION NUMBER:

1995:330551 HCAPLUS

DOCUMENT NUMBER:

122:108666

TITLE:

Acridinium oligonucleotide probes, their preparation

and use.

INVENTOR(S):

Skrzipczyk, Heinz Juergen; Uhlmann, Eugen; Mayer,

Andreas

PATENT ASSIGNEE(S):

Hoechst A.-G., Germany

SOURCE:

Eur. Pat. Appl., 69 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

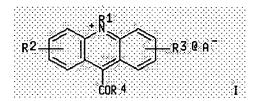
Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 602524	A1	19940622	EP 1993-119783	19931208
R: AT, BE, CH,	DE, DK	, ES, FR, G	B, IT, LI, NL, SE	
FI 9305579	Α	19940616	FI 1993-5579	19931213
CA 2111384	AA	19940616	CA 1993-2111384	19931214
JP 06209798	A2	19940802	JP 1993-342076	19931214
PRIORITY APPLN. INFO.:			DE 1992-4242202 A	19921215
GI				



AB Acridinium compds. (I; R1 = H, hydrocarbyl; R2, R3 = H, alkyl, amino, alkoxy, cyano, carboxy, nitro, halo; R4 = nucleotide-attaching sulfonamido group; A- = anion, such as SO3F-, F3CCO2-) are obtained for chemiluminescence labeling of oligonucleotides in immunoassay. Thus, benzyl 4-(N-phenylsulfonamido)benzoate was condensed with 9-acridinecarboxylic acid chloride hydrochloride to give an acridinecarboxamide, which was debenzylated with HBr and the resulting acid hydrobromide was esterified with N-hydroxysuccinimide. The ensuing succinimidyloxy ester could then be converted to the trifluoroacetate or fluorosulfate salt for use as a label.

IT 160680-12-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; acridinium probes for chemiluminescent labeling of oligonucleotides)

RN 160680-12-6 HCAPLUS

CN Benzenepropanoic acid, 4-[[(9-acridinylcarbonyl)[4-[2-[2-(2-ethoxyethoxy]ethoxy]phenyl]amino]sulfonyl]-, monohydrobromide (9CI) (CA INDEX NAME)

$$H0.2C = CH_2 - CH_2$$

$$0 = 5 = 0$$

$$C = 0$$

$$C = CH_2 - CH_$$

=> d his

(FILE 'HOME' ENTERED AT 01:59:00 ON 06 FEB 2006)

FILE 'REGISTRY' ENTERED AT 01:59:12 ON 06 FEB 2006

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 8 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 02:01:47 ON 06 FEB 2006 L4 4 S L3

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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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L5 0 L3

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